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L9: Entry 1 of 5

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Oct 6, 1986

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DOCUMENT-IDENTIFIER: JP 61225121 A

TITLE: PREVENTIVE FOR ASTHMA AND PREPARATION THEREOF

PUBN-DATE: October 6, 1986

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COUNTRY

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L9: Entry 1 of 5

File: JPAB

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TITLE: PREVENTIVE FOR ASTHMA AND PREPARATION THEREOF

Abstract Text (2):

CONSTITUTION: 5-(3-n-Butyloxalylaminophenyl)tetrazole (abbreviated as MTB) of formula is used as an active component in an amount to exhibit the effect to suppress the isolation of SRS-A. It is mixed uniformly with one or more components selected from polysorbate 80, polyvinyl pyrrolidone, polyethylene glycol, hydroxypropylcellulose and hydroxypropylmethylcellulose to improve the bioavailability of MTB in the presence of a non-aqueous solvent, and the non-aqueous solvent is removed. As an alternative method, MTB is dissolved in liquid PEG and used as an active component of the titled agent optionally after diluting with an inert carrier. It is administered in the form of oral drug such as tablet, granule, etc., or inhalant, suppository, poultice, etc. It is administered at a dose of 10~500mg per head.

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**Search Results - Record(s) 1 through 9 of 9 returned.**☐ 1. Document ID: US 6451339 B2

L5: Entry 1 of 9

File: USPT

Sep 17, 2002

DOCUMENT-IDENTIFIER: US 6451339 B2

\*\* See image for Certificate of Correction \*\*

TITLE: Compositions and methods for improved delivery of hydrophobic agents

## CLAIMS:

1. A pharmaceutical formulation for administration of a hydrophobic lipid-regulating agent, comprising a therapeutically effective amount of the lipid-regulating agent and a carrier comprised of (a) at least one hydrophilic surfactant selected from the group consisting of hydrophilic non-ionic surfactants, hydrophilic ionic surfactants, and combinations thereof, and (b) at least one hydrophobic surfactant having an HLB value less than about 10 and selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; glycerol fatty acid monoesters; glycerol fatty acid diesters; acetylated glycerol fatty acid monoesters; acetylated glycerol fatty acid diesters, lower alcohol fatty acid esters; polyethylene glycol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils, reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof, said hydrophilic and hydrophobic surfactants being present in amounts such that upon dilution with an aqueous solution at an aqueous solution to carrier ratio of 100:1 by weight, the carrier forms a clear aqueous dispersion having an absorbance of less than about 0.1 at a wavelength of about 400 nm, and wherein the composition is substantially free of glycerol triesters of C.sub.6 to about C.sub.25 fatty acids.

21. The formulation of claim 18, wherein the non-ionic hydrophilic surfactant is selected from the group consisting of alkylglucosides; alkylmaltosides; alkylthioglucosides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene sterols, derivatives, and analogues thereof; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; sugar esters, sugar ethers; sucroglycerides; and mixtures thereof.

22. The formulation of claim 18, wherein the non-ionic hydrophilic surfactant is selected from the group consisting of polyoxyethylene alkylethers; polyethylene glycol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

25. The formulation of claim 24, wherein the polyol is glycerol, ethylene glycol, polyethylene glycol, sorbitol, propylene glycol, pentaerythritol or a mixture thereof.

26. The formulation of claim 18, wherein the non-ionic hydrophilic surfactant is PEG-10 laurate, PEG-12 laurate, PEG-20 laurate, PEG-32 laurate, PEG-32 dilaurate, PEG-12 oleate, PEG-15 oleate, PEG-20 oleate, PEG-20 dioleate, PEG-32 oleate, PEG-200 oleate, PEG-400 oleate, PEG-15 stearate, PEG-32 distearate, PEG-40 stearate, PEG-100 stearate, PEG-20 dilaurate, PEG-25 glyceryl trioleate, PEG-32 dioleate, PEG-20 glyceryl laurate, PEG-30 glyceryl laurate, PEG-20 glyceryl stearate, PEG-20 glyceryl oleate, PEG-30 glyceryl oleate, PEG-30 glyceryl laurate, PEG-40 glyceryl laurate, PEG-40 palm kernel oil, PEG-50 hydrogenated castor oil, PEG-40 castor oil, PEG-35 castor oil, PEG-60 castor oil, PEG-40 hydrogenated castor oil, PEG-60 hydrogenated castor oil, PEG-60 corn oil, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, polyglyceryl-10 laurate, PEG-30 cholesterol, PEG-25 phyto sterol, PEG-30 soya sterol, PEG-20 trioleate, PEG-40 sorbitan oleate, PEG-80 sorbitan laurate, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, POE-20 oleyl ether, POE-20 stearyl ether, tocopheryl PEG-100 succinate, PEG-24 cholesterol, polyglyceryl-10 oleate, Tween 40, Tween 60, sucrose monostearate, sucrose monolaurate, sucrose monopalmitate, PEG 10-100 nonyl phenol series, PEG 15-100 octyl phenol series, a poloxamer, or a mixture thereof.

27. The formulation of claim 18, wherein the non-ionic hydrophilic surfactant is PEG-20 laurate, PEG-20 oleate, PEG-35 castor oil, PEG-40 palm kernel oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-25 glyceryl trioleate, polyglyceryl-10 laurate, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, PEG-30 cholesterol, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, PEG-24 cholesterol, sucrose monostearate, sucrose monolaurate, a poloxamer, or a mixture thereof.

28. The formulation of claim 18, wherein the non-ionic hydrophilic surfactant is PEG-35 castor oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-25 glyceryl trioleate, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, polysorbate 20, polysorbate 80, tocopheryl PEG-1000 succinate, PEG-24 cholesterol, a poloxamer, or a mixture thereof.

29. The formulation of claim 28, wherein the non-ionic hydrophilic surfactant is tocopheryl PEG-1000 succinate.

32. The formulation of claim 19, wherein the ionic surfactant is selected from the group consisting of alkyl ammonium salts; bile acids and salts, analogues, and derivatives thereof; fatty acid derivatives of amino acids, oligopeptides, and polypeptides; glyceride derivatives of amino acids, oligopeptides, and polypeptides; acyl lactylates; monoacetylated tartaric acid esters of monoglycerides, monoacetylated tartaric acid esters of diglycerides, diacetylated tartaric acid esters of monoglycerides, diacetylated tartaric acid esters of diglycerides; succinylated monoglycerides; citric acid esters of monoglycerides; citric acid esters of diglycerides; alginate salts; propylene glycol alginate; lecithins and hydrogenated lecithins; lysolecithin and hydrogenated lysolecithins; lysophospholipids and derivatives thereof; phospholipids and derivatives thereof; salts of alkylsulfates; salts of fatty acids; sodium docusate; and mixtures thereof.

34. The formulation of claim 19, wherein the ionic surfactant is selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, phosphatidic acid, phosphatidylserine, lysophosphatidylcholine, lysophosphatidylethanolamine, lysophosphatidylglycerol, lysophosphatidic acid, lysophosphatidylserine, PEG-phosphatidylethanolamine, PVP-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, monoacetylated tartaric acid esters of monoglycerides, monoacetylated tartaric acid esters of diglycerides, diacetylated tartaric acid esters of monoglycerides, diacetylated tartaric acid esters of diglycerides, citric acid esters of monoglycerides, citric acid esters of diglycerides, cholate, taurocholate, glycocholate, deoxycholate, taurodeoxycholate, chenodeoxycholate, glycodeoxycholate, glycochenodeoxycholate, taurochenodeoxycholate, ursodeoxycholate, tauroursodeoxycholate, glyoursodeoxycholate, cholylsarcosine, N-methyl taurocholate, caproate, caprylate, caprate, laurate, myristate, palmitate, oleate, ricinoleate, linoleate, linolenate, stearate, lauryl sulfate, teracecyl sulfate, docusate, and salts and mixtures thereof.
35. The formulation of claim 19, wherein the ionic surfactant is selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, lysophosphatidylcholine, PEG-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, monoacetylated tartaric acid esters of monoglycerides, monoacetylated tartaric acid esters of diglycerides, diacetylated tartaric acid esters of monoglycerides, diacetylated tartaric acid esters of diglycerides, citric acid esters of monoglycerides, citric acid esters of diglycerides, cholate, taurocholate, glycocholate, deoxycholate, taurodeoxycholate, glycodeoxycholate, cholylsarcosine, caproate, caprylate, caprate, laurate, oleate, lauryl sulfate, docusate, and salts and mixtures thereof.
37. The formulation of claim 1, wherein the hydrophobic surfactant is selected from the group consisting of fatty acids; lower alcohol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; glycerol fatty acid monoesters; glycerol fatty acid diesters; acetylated glycerol fatty acid monoesters; acetylated glycerol fatty acid diesters; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.
38. The formulation of claim 1, wherein the hydrophobic surfactant is selected from the group consisting of lower alcohol fatty acid esters; polypropylene glycol fatty acid esters; propylene glycol fatty acid esters; glycerol fatty acid monoesters; glycerol fatty acid diesters; acetylated glycerol fatty acid monoesters; acetylated glycerol fatty acid diesters; lactic acid derivatives of mono/diglycerides; sorbitan fatty acid esters; polyoxyethylene vegetable oils; and mixtures thereof.
44. The formulation of claim 43, wherein the polyol is polyethylene glycol, sorbitol, propylene glycol, pentaerythritol or a mixture thereof.
45. The formulation of claim 1, wherein the hydrophobic surfactant is selected from the group consisting of myristic acid; oleic acid; lauric acid; stearic acid; palmitic acid; PEG 1-4 stearate; PEG 2-4 oleate; PEG-4 dilaurate; PEG-4 dioleate; PEG-4 distearate; PEG-6 dioleate; PEG-6 distearate; PEG-8 dioleate; PEG 3-16 castor oil; PEG 5-10 hydrogenated castor oil; PEG 6-20 corn oil; PEG 6-20 almond oil; PEG-6 olive oil; PEG-6 peanut oil; PEG-6 palm kernel oil; PEG-6 hydrogenated palm kernel oil; PEG-4 capric/caprylic triglyceride, mono, di, tri, tetra esters of vegetable oil and sorbitol; pentaerythrityl di, tetra stearate, isostearate, oleate, caprylate, or caprate; polyglyceryl 2-4 oleate, stearate, or isostearate; polyglyceryl 4-10 pentaoleate; polyglyceryl-3 dioleate; polyglyceryl-6 dioleate; polyglyceryl-10 trioleate; polyglyceryl-3 distearate; propylene

- glycol monoesters of a C.sub.6 to C.sub.20 fatty acid, propylene glycol diesters of a C.sub.6 to C.sub.20 fatty acid; monoglycerides of a C.sub.6 to C.sub.20 fatty acid; acetylated monoglycerides of C.sub.6 to C.sub.20 fatty acid; diglycerides of C.sub.6 to C.sub.20 fatty acids; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; cholesterol; phytosterol; PEG 5-20 soya sterol; PEG-6 sorbitan tetra, hexastearate; PEG-6 sorbitan tetraoleate; sorbitan monolaurate; sorbitan monopalmitate; sorbitan mono, trioleate; sorbitan mono, tristearate; sorbitan monoisostearate; sorbitan sesquioleate; sorbitan sesquisteate; PEG 2-5 oleyl ether; POE 2-4 lauryl ether; PEG-2 cetyl ether; PEG-2 stearyl ether; sucrose distearate; sucrose dipalmitate; ethyl oleate; isopropyl myristate; isopropyl palmitate; ethyl linoleate; isopropyl linoleate; poloxamers; and mixtures thereof.

46. The formulation of claim 1, wherein the hydrophobic surfactant is selected from the group consisting of oleic acid; lauric acid; glyceryl monocaprate; glyceryl monocaprylate; glyceryl monolaurate; glyceryl monooleate; glyceryl dicaprate; glyceryl dicaprylate; glyceryl dilaurate; glyceryl dioleate; acetylated monoglycerides; propylene glycol oleate; propylene glycol laurate; polyglyceryl-3 oleate; polyglyceryl-6 dioleate; PEG-6 corn oil; PEG-20 corn oil; PEG-20 almond oil; sorbitan monooleate; sorbitan monolaurate; POE-4 lauryl ether; POE-3 oleyl ether; ethyl oleate; poloxamers; and mixtures thereof.

53. The formulation of claim 52, wherein the solubilizer is selected from the group consisting of alcohols, polyols, amides, esters, propylene glycol ethers and mixtures thereof.

54. The formulation of claim 53, wherein the solubilizer is an alcohol or polyol selected from the group consisting of ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, propylene glycol, butanediols and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other cellulose derivatives, cyclodextrins and cyclodextrin derivatives, and mixtures thereof.

58. The formulation of claim 53, wherein the solubilizer is an ester selected from the group consisting of ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl oleate, ethyl caprylate, ethyl butyrate, triacetin, propylene glycol monoacetate, propylene glycol diacetate, .epsilon.-caprolactone and isomers thereof, .delta.-valerolactone and isomers thereof, 62 -butyrolactone and isomers thereof, and mixtures thereof.

59. The formulation of claim 52, wherein the solubilizer is selected from the group consisting of ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, propylene glycol, butanediol and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other cellulose derivatives, cyclodextrins, cyclodextrins and derivatives thereof, ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl oleate, ethyl caprylate, ethyl butyrate, triacetin, propylene glycol diacetate, .epsilon.-caprolactone and isomers thereof, .delta.-valerolactone and isomers thereof, .beta.-butyrolactone and isomers thereof, 2-pyrrolidone, 2-piperidone, .epsilon.-caprolactam, N-methylpyrrolidone, N-ethylpyrrolidone, N-hydroxyethyl pyrrolidone, N-octylpyrrolidone, N-laurylpyrrolidone, dimethylacetamide, polyvinylpyrrolidone, glycofurool, methoxy PEG, and mixtures thereof.

60. The formulation of claim 52, wherein the solubilizer is selected from the group consisting of ethanol, isopropanol, benzyl alcohol, ethylene glycol, propylene glycol, 1,3-butanediol, glycerol, pentaerythritol, sorbitol, glycofurool, transcitol, dimethyl isosorbide, polyethylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose, methylcellulose, ethylcellulose, hydroxypropylcyclodextrins, sulfobutyl ether derivatives of cyclodextrins, ethyl propionate, tributylcitrate, triethylcitrate, ethyl oleate, ethyl caprylate, triacetin, .beta.-butyrolactone and isomers thereof, 2-pyrrolidone, N-methylpyrrolidone, N-ethylpyrrolidone, N-hydroxyalkylpyrrolidone, N-octylpyrrolidone, N-laurylpyrrolidone, dimethylacetamide, polyvinylpyrrolidone,

and mixtures thereof.

61. The formulation of claim 52, wherein the solubilizer is triacetin, triethylcitrate, ethyl oleate, ethyl caprylate, dimethylacetamide, N-methylpyrrolidone, N-hydroxyethylpyrrolidone, polyvinylpyrrolidone, hydroxypropyl methylcellulose, hydroxypropyl cyclodextrins, ethanol, polyethylene glycol 200-600, glycofurool, transcutol, propylene glycol, dimethyl isosorbide, or a mixture thereof.

62. The formulation of claim 52, wherein the solubilizer is triacetin, ethanol, polyethylene glycol 400, glycofurool, propylene glycol or a mixture thereof.

80. The formulation of claim 1, comprising a solution, a cream, a lotion, an ointment, a suppository, a spray, an aerosol, a paste or a gel.



89. A pharmaceutical formulation for administration of a hydrophobic therapeutic agent, comprising a therapeutically effective amount of the therapeutic agent and a carrier comprised of (a) at least one hydrophilic surfactant selected from the group consisting of hydrophilic non-ionic surfactants, hydrophilic ionic surfactants, and combinations thereof, and (b) at least one hydrophobic surfactant having HLB value less than about 10 and selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; glycerol fatty acid monoesters; glycerol fatty acid diesters; acetylated glycerol fatty acid monoesters; acetylated glycerol fatty acid diesters, lower alcohol fatty acid esters; polyethylene glycol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters, polyoxyethylene glycerides; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters, polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof, said hydrophilic and hydrophobic surfactants being present in amounts such from upon dilution with an aqueous solution at an aqueous solution to carrier ratio of 10:1 by weight, the carrier forms a clear aqueous dispersion having an absorbance of less than about 0.3 at a wavelength of about 400 nm, and wherein the composition is substantially free of glycerol triesters of C.sub.6 to about C.sub.25 fatty acids.

96. A pharmaceutical formulation for administration of a hydrophobic lipid-regulating agent, comprising a therapeutically effective amount of the lipid-regulating agent and a carrier comprised of (a) at least one hydrophilic surfactant selected from the group consisting of hydrophilic non-ionic surfactants, hydrophilic ionic surfactants, and combinations thereof, and (b) at least one hydrophobic surfactant having an HLB value less than about 10 and selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; glycerol fatty acid monoesters; glycerol fatty acid diesters; acetylated glycerol fatty acid monoesters; acetylated glycerol fatty acid diesters, lower alcohol fatty acid esters; polyethylene glycol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof, said hydrophilic and hydrophobic surfactants being present in amounts such that upon dilution with an aqueous solution at an aqueous solution to carrier ratio of 10:1 by weight, the carrier forms a clear aqueous dispersion having an absorbance of less than about 0.3 at a wavelength of about 400 nm, and wherein the composition is substantially free of glycerol triesters of C.sub.6 to about C.sub.25 fatty acids.

113. A pharmaceutical formulation for administration of a hydrophobic sex hormone, comprising a therapeutically effective amount of the sex hormone and a carrier comprised of (a) at least one hydrophilic surfactant selected from the group consisting of hydrophilic non-ionic surfactants, hydrophilic ionic surfactants, and combinations thereof, and (b) at least one hydrophobic surfactant having an HLB value less than about 10 and selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; glycerol fatty acid monoesters; glycerol fatty acid diesters; acetylated glycerol fatty acid monoesters; acetylated glycerol fatty acid diesters, lower alcohol fatty acid esters; polyethylene glycol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols, sterol derivatives; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof, said hydrophilic and hydrophobic surfactants being present in amounts such that upon dilution with an aqueous solution at an aqueous solution to carrier ratio of 100:1 by weight, the carrier forms a clear aqueous dispersion having an absorbance of less than about 0.1 at a wavelength of about 400 mn, and wherein the composition is substantially free of glycerol triesters of C.sub.6 to about C.sub.25 fatty acids.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw Desc
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## ☐ 2. Document ID: US 6420425 B1

L5: Entry 2 of 9

File: USPT

Jul 16, 2002

DOCUMENT-IDENTIFIER: US 6420425 B1

TITLE: Method for the broad based treatment of infections especially infections of organs such as the skin and vagina

### CLAIMS:

2. A method according to claim 1 wherein the composition is administered in a form selected from the group consisting of a vaginal suppository, cream, ointment, gel, douche, solution, shampoo, creme rinse and foam.
4. A method according to claim 3 wherein the surfactant is propylene glycol.
6. A method according to claim 5 wherein the non-ionic surfactant is polysorbate.



DOCUMENT-IDENTIFIER: US 6340479 B1

TITLE: Stable, homogeneous, extract free or nearly free form secondary reaction products

CLAIMS:

4. The extract according to claim 2, wherein the pharmaceutically acceptable solvent is selected from the group consisting of water, glycerol, propylene glycol, and polyethylene glycols with an average molecular weight ranging from 300 to 1500.

5. The extract according to claim 2, wherein the pharmaceutically acceptable solvent is polyethylene glycol with an average molecular weight of 300.

10. The extract according to claim 9, wherein said carrier material is selected from the group consisting of polyethylene glycols with an average molecular weight ranging from 300 to 600, fatty acid esters of polyglycerines, lecithins, silicone oils, sorbitan fatty acid esters, sorbates of fatty acids, polysorbates of fatty acids, waxes, polyglycerines, triglycerides, fatty acids, fatty oils, paraffins, and mixtures thereof.

11. The extract according to claim 9, wherein said carrier material is polyethylene glycol with an average molecular weight of 300.

13. The extract according to claim 12, wherein said polymeric compound is selected from the group consisting of polyvinylpyrrolidones (PVP), vinylacetate-crotonic acid-copolymers, methacrylic acid-ethylacrylate-copolymers, polyethylene glycols with an average molecular weight ranging from 4,000 to 35,000, block copolymers from polyethylene glycols and polypropylene glycols, proteins and protein hydrolysates of proteins of plant and/or animal origin, block copolymers of ethylene oxide and propylene oxide, and mixtures thereof.

14. The extract according to claim 12, wherein said polymeric compound is polyethylene glycol with an average molecular weight of 35,000.

19. The extract according to claim 1, wherein said agent is a polyethylene glycol with an average molecular weight ranging from 4,000 to 35,000, and the weight ratio on the dry basis between the extracted compound mixture and the agent is from 98:2 to 50:50.

20. The extract according to claim 1, wherein said agent is a block copolymer of a polyethylene glycol and a polypropylene glycol, and the weight ratio on the dry basis between the desired native substance mixture and the agent is from 98:2 to 90:10.

31. The extract according to claim 28, wherein said semisolid administrative form is selected from the group consisting of a cream, a gel, an ointment, a paste and a suppository.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
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□ 5. Document ID: US 6309663 B1

L5: Entry 5 of 9

File: USPT

Oct 30, 2001

DOCUMENT-IDENTIFIER: US 6309663 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents

CLAIMS:

1. A pharmaceutical system for enhanced absorption of a hydrophilic therapeutic agent, the system consisting essentially of:

(a) a dosage form of an absorption enhancing composition, the composition comprising:

(i) at least one hydrophilic surfactant selected from the group consisting of ionized ionizable surfactants, non-ionic hydrophilic surfactants having an HLB value greater than or equal to about 10, and combinations thereof, and

(ii) at least one hydrophobic surfactant selected from the group consisting of hydrophobic (a) alcohols, polyoxyethylene alkylethers, bile acids, glycerol fatty acid monoesters, glycerol fatty acid diesters, acetylated glycerol fatty acid monoesters, acetylated glycerol fatty acid diesters, lower alcohol fatty acid monoesters, lower alcohol fatty acid diesters, polyethylene glycol fatty acid esters, polyethylene glycol glycerol fatty acid esters, polypropylene glycol fatty acid esters, polyoxyethylene glycerides, lactic acid derivatives of mono- and diglycerides, propylene glycol diglycerides, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters, polyoxyethylene-polyoxypropylene block copolymers, transesterified vegetable oils, sugar esters, sugar ethers, sucroglycerides, polyoxyethylene vegetable oils, polyoxyethylene hydrogenated vegetable oils, reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils, and hydrophobic, un-ionized (b) fatty acids, carnitine fatty acid esters, alkylsulfates, acyl lactylates, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, succinylated monoglycerides, citric acid esters of mono- and diglycerides, and mixtures thereof,

wherein the hydrophilic and hydrophobic surfactants are present in amounts such that upon mixing with an aqueous diluent at 100.times. dilution, the composition forms a clear aqueous dispersion having an absorbance of less than about 0.3 at 400 nm; and

(b) a therapeutically effective amount of a hydrophilic therapeutic agent, wherein the pharmaceutical system is free of triglycerides.

8. The pharmaceutical system of claim 7, wherein the non-ionic surfactant is selected from the group consisting of alkylglucosides; alkylmaltosides; alkylthioglucosides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene vegetable oils;

- polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils; sugar esters, sugar ethers; sucroglycerides; and mixtures thereof.

9. The pharmaceutical system of claim 7, wherein the non-ionic hydrophilic surfactant is selected from the group consisting of polyoxyethylene alkylethers; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils; and mixtures thereof.

12. The pharmaceutical system of claim 10, wherein the polyol is glycerol, ethylene glycol, polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, a saccharide, or a mixture thereof.

13. The pharmaceutical system of claim 7, wherein the hydrophilic surfactant is selected from the group consisting of PEG-10 laurate, PEG-12 laurate, PEG-20 laurate, PEG-32 laurate, PEG-32 dilaurate, PEG-12 oleate, PEG-15 oleate, PEG-20 oleate, PEG-20 dioleate, PEG-32 oleate, PEG-200 oleate, PEG-400 oleate, PEG-15 stearate, PEG-32 distearate, PEG-40 stearate, PEG-100 stearate, PEG-20 dilaurate, PEG-32 dioleate, PEG-20 glyceryl laurate, PEG-30 glyceryl laurate, PEG-20 glyceryl stearate, PEG-20 glyceryl oleate, PEG-30 glyceryl oleate, PEG-30 glyceryl laurate, PEG-40 glyceryl laurate, PEG-40 palm kernel oil, PEG-50 hydrogenated castor oil, PEG-40 castor oil, PEG-35 castor oil, PEG-60 castor oil, PEG-40 hydrogenated castor oil, PEG-60 hydrogenated castor oil, PEG-60 corn oil, PEG-6 caprate/caprylate monoglycerides, PEG-6 caprate/caprylate diglycerides, PEG-8 caprate/caprylate monoglycerides, PEG-8 caprate/caprylate diglycerides, polyglyceryl-10 laurate, PEG-40 sorbitan oleate, PEG-80 sorbitan laurate, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, POE-20 oleyl ether, POE-20 stearyl ether, tocopheryl PEG-100 succinate, polyglyceryl-10 oleate, Tween 40, Tween 60, sucrose monostearate, sucrose monolaurate, sucrose monopalmitate, PEG 10-100 nonyl phenol series, PEG 15-100 octyl phenol series, a poloxamer, and combinations thereof.

14. The pharmaceutical system of claim 7, wherein the hydrophilic surfactant is selected from the group consisting of PEG-20 laurate, PEG-20 oleate, PEG-35 castor oil, PEG-40 palm kernel oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, polyglyceryl-10 laurate, PEG-6 caprate/caprylate monoglycerides, PEG-6 caprate/caprylate diglycerides, PEG-8 caprate/caprylate monoglycerides, PEG-8 caprate/caprylate diglycerides, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, sucrose monostearate, sucrose monolaurate, a poloxamer, and combinations thereof.

15. The pharmaceutical system of claim 7, wherein the hydrophilic surfactant is selected from the group consisting of PEG-35 castor oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-6 caprate/caprylate monoglycerides, PEG-6 caprate/caprylate diglycerides, PEG-8 caprate/caprylate monoglycerides, PEG-8 caprate/caprylate diglycerides, polysorbate 20, polysorbate 80, tocopheryl PEG-1000 succinate, a poloxamer, and combinations thereof.

23. The pharmaceutical system of claim 22, wherein the hydrophobic surfactant is selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; bile acids; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lower alcohol fatty acids esters; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono- and diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils;

polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils; and mixtures thereof.

24. The pharmaceutical system of claim 22, wherein the hydrophobic surfactant is selected from the group consisting of fatty acids; bile acids; lower alcohol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid derivatives of mono- and diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils; and mixtures thereof.

25. The pharmaceutical system of claim 22, wherein the hydrophobic surfactant is selected from the group consisting of bile acids; lower alcohol fatty acids esters; polypropylene glycol fatty acid esters; propylene glycol fatty acid esters; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid derivatives of mono- and diglycerides; sorbitan fatty acid esters; polyoxyethylene vegetable oils; and mixtures thereof.

31. The pharmaceutical system of claim 29, wherein the polyol is polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, a saccharide, or a mixture thereof.

32. The pharmaceutical system of claim 22, wherein the hydrophobic surfactant is selected from the group consisting of myristic acid; oleic acid; lauric acid; stearic acid; palmitic acid; PEG 1-4 stearate; PEG 2-4 oleate; PEG-4 dilaurate; PEG-4 dioleate; PEG-4 distearate; PEG-6 dioleate; PEG-6 distearate; PEG-8 dioleate; PEG 3-16 castor oil; PEG 5-10 hydrogenated castor oil; PEG 6-20 corn oil; PEG 6-20 almond oil; PEG-6 olive oil; PEG-6 peanut oil; PEG-6 palm kernel oil; PEG-6 hydrogenated palm kernel oil; PEG-4 capric/caprylic triglyceride, mono, di, tri, tetra esters of vegetable oil and sorbitol; pentaerythrityl di, tetra stearate, isostearate, oleate, caprylate, or caprate; polyglyceryl 2-4 oleate, stearate, or isostearate; polyglyceryl 4-10 pentaoleate; polyglyceryl-3 dioleate; polyglyceryl-6 dioleate; polyglyceryl-10 trioleate; polyglyceryl-3 distearate; propylene glycol mono- or diesters of a C.sub.6 to C.sub.22 fatty acid; monoglycerides of a C.sub.6 to C.sub.22 fatty acid; acetylated monoglycerides of C.sub.6 to C.sub.22 fatty acid; diglycerides of C.sub.6 to C.sub.22 fatty acids; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; PEG-6 sorbitan tetra, hexastearate; PEG-6 sorbitan tetraoleate; sorbitan monolaurate; sorbitan monopalmitate; sorbitan mono, trioleate; sorbitan mono, tristearate; sorbitan monoisostearate; sorbitan sesquioleate; sorbitan sesquisteate; PEG 2-5 oleyl ether; POE 2-4 lauryl ether; PEG-2 cetyl ether; PEG-2 stearyl ether; sucrose distearate; sucrose dipalmitate; ethyl oleate; isopropyl myristate; isopropyl palmitate; ethyl linoleate; isopropyl linoleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.

33. The pharmaceutical system of claim 22, wherein the hydrophobic surfactant is selected from the group consisting of myristic acid; oleic acid; lauric acid; stearic acid; palmitic acid, PEG 1-4 stearate; PEG 2-4 oleate; PEG-4 dilaurate; PEG-4 dioleate; PEG-4 distearate; PEG-6 dioleate; PEG-6 distearate; PEG-8 dioleate; PEG-3-16 castor oil; PEG 5-10 hydrogenated castor oil; PEG 6-20 corn oil; PEG 6-20 almond oil; PEG-6 olive oil; PEG-6 peanut oil; PEG-6 palm kernel oil; PEG-6 hydrogenated palm kernel oil; mono, di, tri, tetra esters vegetable oil and sorbitol; pentaerythrityl di, tetra stearate, isostearate, oleate, caprylate, or caprate; polyglyceryl 2-4 oleate, stearate, or isostearate; polyglyceryl 4-10 pentaoleate; polyglyceryl-3 dioleate; polyglyceryl-6 dioleate; polyglyceryl-3 distearate; propylene glycol mono- or diesters of a C.sub.6 to C.sub.22 fatty acid; monoglycerides of a C.sub.6 to C.sub.22 fatty acid; acetylated monoglycerides of C.sub.6 to C.sub.22 fatty acid; diglycerides of C.sub.6 to C.sub.22 fatty acids; lactic acid derivatives of monoglycerides;

- lactic acid derivatives of diglycerides; PEG-6 sorbitan tetra, hexastearate; PEG-6 sorbitan tetraoleate; sorbitan monolaurate; sorbitan monopalmitate; sorbitan monooleate; sorbitan monostearate; sorbitan monoisostearate; sorbitan sesquioleate; sorbitan sesquistearate; PEG 2-5 oleyl ether; POE 2-4 lauryl ether; PEG-2 cetyl ether; PEG-2 stearyl ether; sucrose distearate; sucrose dipalmitate; ethyl oleate; isopropyl myristate; isopropyl palmitate; ethyl linoleate; isopropyl linoleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.

53. The pharmaceutical system of claim 1, wherein the system is free of polyethylene glycol diesters.

64. The pharmaceutical system of claim 1, wherein the dosage form of the composition is a solution, suspension, emulsion, cream, ointment, lotion, suppository, spray, aerosol, paste, gel, drops, douche, ovule, wafer, troche, cachet, syrup or elixir.

76. A pharmaceutical system for enhanced absorption of a hydrophilic therapeutic agent, the system consisting essentially of:

(a) a dosage form of an absorption enhancing composition, the composition comprising:

(i) at least one hydrophilic surfactant selected from the group consisting of ionized surfactants, non-ionic hydrophilic surfactants having an HLB value greater than or equal to about 10, and combinations thereof,

(ii) at least one hydrophobic surfactant selected from the group consisting of hydrophobic (a) alcohols, polyoxyethylene alkylethers, bile acids, glycerol fatty acid monoesters, glycerol fatty acid diesters, acetylated glycerol fatty acid monoesters, acetylated glycerol fatty acid diesters, lower alcohol fatty acid monoesters, lower alcohol fatty acid diesters, polyethylene glycol fatty acid esters, polyethylene glycol glycerol fatty acid esters, polypropylene glycol fatty acid esters, polyoxyethylene glycerides, lactic acid derivatives of mono- and diglycerides, propylene glycol diglycerides, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters, polyoxyethylene-polyoxypropylene block copolymers, transesterified vegetable oils, sugar esters, sugar ethers, sucroglycerides, polyoxyethylene vegetable oils, polyoxyethylene hydrogenated vegetable oils, reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils, and hydrophobic, un-ionized (b) fatty acids, carnitine fatty acid esters, alkylsulfates, acyl lactylates, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, succinylated monoglycerides, citric acid esters of mono- and diglycerides, and mixtures thereof, wherein the hydrophilic and hydrophobic surfactants are present in amounts such that upon mixing with an aqueous diluent at 100.times. dilution, the composition forms an aqueous dispersion having an average particle size of less than about 200 nm, and

(iii) at least one solubilizer; and

(b) a therapeutically effective amount of a hydrophilic therapeutic agent, wherein the pharmaceutical system is free of triglycerides.

79. The pharmaceutical system of claim 77, wherein the ionized ionizable surfactant is the ionized form of a surfactant selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, phosphatidic acid, phosphatidylserine, lysophosphatidylcholine, lysophosphatidylethanolamine, lysophosphatidylglycerol, lysophosphatidic acid, lysophosphatidylserine, PEG-phosphatidylethanolamine, PVP-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, citric acid esters of

- mono- and diglycerides, cholate, taurocholate, glycocholate, deoxycholate, taurodeoxycholate, chenodeoxycholate, glycodeoxycholate, glycochenodeoxycholate, taurochenodeoxycholate, ursodeoxycholate, lithocholate, tauroursodeoxycholate, glycoursodeoxycholate, cholylsarcosine, N-methyl taurocholate, caproate, caprylate, caprate, laurate, myristate, palmitate, oleate, ricinoleate, linoleate, linolenate, stearate, lauryl sulfate, tetraacetyl sulfate, docusate, lauroyl carnitine, palmitoyl carnitine, myristoyl carnitine, and salts and mixtures thereof.

80. The pharmaceutical system of claim 77, wherein the ionized ionizable surfactant is the ionized form of a surfactant selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, lysophosphatidylcholine, PEG-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, citric acid esters of mono- and diglycerides, cholate, taurocholate, glycocholate, deoxycholate, chenodeoxycholate, lithocholate, ursodeoxycholate, taurodeoxycholate, glycodeoxycholate, cholylsarcosine, caproate, caprylate, caprate, laurate, oleate, lauryl sulfate, docusate, lauroyl carnitine, palmitoyl carnitine, myristoyl carnitine, and salts and mixtures thereof.

83. The pharmaceutical system of claim 82, wherein the non-ionic surfactant is selected from the group consisting of alkylglucosides; alkylmaltosides; alkylthioglucosides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene sterols, derivatives, and analogues thereof; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; sugar esters, sugar ethers; sucroglycerides; and mixtures thereof.

84. The pharmaceutical system of claim 82, wherein the non-ionic hydrophilic surfactant is selected from the group consisting of polyoxyethylene alkylethers; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

87. The pharmaceutical system of claim 85, wherein the polyol is glycerol, ethylene glycol, polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, a saccharide, or a mixture thereof.

88. The pharmaceutical system of claim 82, wherein the hydrophilic surfactant is selected from the group consisting of PEG-10 laurate, PEG-12 laurate, PEG-20 laurate, PEG-32 laurate, PEG-32 dilaurate, PEG-12 oleate, PEG-15 oleate, PEG-20 oleate, PEG-20 dioleate, PEG-32 oleate, PEG-200 oleate, PEG-400 oleate, PEG-15 stearate, PEG-32 distearate, PEG-40 stearate, PEG-100 stearate, PEG-20 dilaurate, PEG-32 dioleate, PEG-20 glyceryl laurate, PEG-30 glyceryl laurate, PEG-20 glyceryl stearate, PEG-20 glyceryl oleate, PEG-30 glyceryl oleate, PEG-30 glyceryl laurate, PEG-40 glyceryl laurate, PEG-40 palm kernel oil, PEG-50 hydrogenated castor oil, PEG-40 castor oil, PEG-35 castor oil, PEG-60 castor oil, PEG-40 hydrogenated castor oil, PEG-60 hydrogenated castor oil, PEG-60 corn oil, PEG-6 caprate/caprylate monoglycerides, PEG-6 caprate/caprylate diglycerides, PEG-8 caprate/caprylate monoglycerides, PEG-8 caprate/caprylate diglycerides, polyglyceryl-10 laurate, PEG-30 cholesterol, PEG-25 phyto sterol, PEG-30 soya sterol, PEG-40 sorbitan oleate, PEG-80 sorbitan laurate, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, POE-20 oleyl ether, POE-20 stearyl ether, tocopheryl PEG-100 succinate, PEG-24 cholesterol, polyglyceryl-10 oleate, Tween 40, Tween 60, sucrose monostearate, sucrose monolaurate, sucrose monopalmitate, PEG 10-100

nonyl phenol series, PEG 15-100 octyl phenol series, a poloxamer, and combinations thereof.

89. The pharmaceutical system of claim 82, wherein the hydrophilic surfactant is selected from the group consisting of PEG-20 laurate, PEG-20 oleate, PEG-35 castor oil, PEG-40 palm kernel oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, polyglyceryl-10 laurate, PEG-6 caprate/caprylate monoglycerides, PEG-6 caprate/caprylate diglycerides, PEG-8 caprate/caprylate monoglycerides, PEG-8 caprate/caprylate diglycerides, PEG-30 cholesterol, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, PEG-24 cholesterol, sucrose monostearate, sucrose monolaurate, a poloxamer, and combinations thereof.

90. The pharmaceutical system of claim 82, wherein the hydrophilic surfactant is selected from the group consisting of PEG-35 castor oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-6 caprate/caprylate monoglycerides, PEG-6 caprate/caprylate diglycerides, PEG-8 caprate/caprylate monoglycerides, PEG-8 caprate/caprylate diglycerides, polysorbate 20, polysorbate 80, tocopheryl PEG-1000 succinate, PEG-24 cholesterol, a poloxamer, and combinations thereof.

94. The pharmaceutical system of claim 92, wherein the un-ionized ionizable surfactant is the un-ionized form of a surfactant selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, phosphatidic acid, phosphatidylserine, lysophosphatidylcholine, lysophosphatidylethanolamine, lysophosphatidylglycerol, lysophosphatidic acid, lysophosphatidylserine, PEG-phosphatidylethanolamine, PVP-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, citric acid esters of mono- and diglycerides, cholic acid, taurocholic acid, glycocholic acid, deoxycholic acid, taurodeoxycholic acid, chenodeoxycholic acid, glycodeoxycholic acid, glycochenodeoxycholic acid, taurochenodeoxycholic acid, ursodeoxycholic acid, lithocholic acid, tauroursodeoxycholic acid, glyoursodeoxycholic acid, cholylsarcosine, N-methyl taurocholic acid, caproic acid, caprylic acid, capric acid, lauric acid, myristic acid, palmitic acid, oleic acid, ricinoleic acid, linoleic acid, linolenic acid, stearic acid, lauryl sulfate, tetraacetyl sulfate, lauroyl carnitine, palmitoyl carnitine, myristoyl carnitine, and mixtures thereof.

95. The pharmaceutical system of claim 92, wherein the un-ionized ionizable surfactant is the unionized form of a surfactant selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, lysophosphatidylcholine, PEG-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, citric acid esters of mono- and diglycerides, cholic acid, taurocholic acid, glycocholic acid, deoxycholic acid, chenodeoxycholic acid, lithocholic acid, ursodeoxycholic acid, taurodeoxycholic acid, glycodeoxycholic acid, cholylsarcosine, caproic acid, caprylic acid, capric acid, lauric acid, oleic acid, lauryl sulfate, lauroyl carnitine, palmitoyl carnitine, myristoyl carnitine, and mixtures thereof.

98. The pharmaceutical system of claim 97, wherein the hydrophobic surfactant is selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; bile acids; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lower alcohol fatty acids esters; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono- and diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

99. The pharmaceutical system of claim 97, wherein the hydrophobic surfactant is selected from the group consisting of fatty acids; bile acids; lower alcohol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid derivatives of mono- and diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

100. The pharmaceutical system of claim 97, wherein the hydrophobic surfactant is selected from the group consisting of bile acids; lower alcohol fatty acids esters; polypropylene glycol fatty acid esters; propylene glycol fatty acid esters; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid derivatives of mono- and diglycerides; sorbitan fatty acid esters; polyoxyethylene vegetable oils; and mixtures thereof.

106. The pharmaceutical system of claim 105, wherein the hydrophobic surfactant is selected from the group consisting of myristic acid; oleic acid; lauric acid; stearic acid; palmitic acid; PEG 1-4 stearate; PEG 2-4 oleate; PEG-4 dilaurate; PEG-4 dioleate; PEG-4 distearate; PEG-6 dioleate; PEG-6 distearate; PEG-8 dioleate; PEG 3-16 castor oil; PEG 5-10 hydrogenated castor oil; PEG 6-20 corn oil; PEG 6-20 almond oil; PEG-6 olive oil; PEG-6 peanut oil; PEG-6 palm kernel oil; PEG-6 hydrogenated palm kernel oil; PEG-4 capric/caprylic triglyceride, mono, di, tri, tetra esters of vegetable oil and sorbitol; pentaerythrityl di, tetra stearate, isostearate, oleate, caprylate, or caprate; polyglyceryl 2-4 oleate, stearate, or isostearate; polyglyceryl 4-10 pentaoleate; polyglyceryl-3 dioleate; polyglyceryl-6 dioleate; polyglyceryl-10 trioleate; polyglyceryl-3 distearate; propylene glycol mono- or diesters of a C.sub.6 to C.sub.22 fatty acid; monoglycerides of a C.sub.6 to C.sub.22 fatty acid; acetylated monoglycerides of C.sub.6 to C.sub.22 fatty acid; diglycerides of C.sub.6 to C.sub.22 fatty acids; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; cholesterol; phytosterol; PEG 5-20 soya sterol; PEG-6 sorbitan tetra, hexastearate; PEG-6 sorbitan tetraoleate; sorbitan monolaurate; sorbitan monopalmitate; sorbitan mono, trioleate; sorbitan mono, tristearate; sorbitan monoisostearate; sorbitan sesquioleate; sorbitan sesquistearate; PEG 2-5 oleyl ether; PEG 2-4 lauryl ether; PEG-2 cetyl ether; PEG-2 stearyl ether; sucrose.

107. The pharmaceutical system of claim 97, wherein the hydrophobic surfactant is selected from the group consisting of myristic acid; oleic acid; lauric acid; stearic acid; palmitic acid; PEG 1-4 stearate; PEG 2-4 oleate; PEG-4 dilaurate; PEG-4 dioleate; PEG-4 distearate; PEG-6 dioleate; PEG-6 distearate; PEG-8 dioleate; PEG 3-16 castor oil; PEG 5-10 hydrogenated castor oil; PEG 6-20 corn oil; PETG 6-20 almond oil; PEG-6 olive oil; PEG-6 peanut oil; PEG-6 palm kernel oil; PEG-6 hydrogenated palm kernel oil; mono, di, tri, tetra esters of vegetable oil and sorbitol; pentaerythrityl di, tetra stearate, isostearate, oleate, caprylate, or caprate; polyglyceryl 2-4 oleate, stearate, or isostearate; polyglyceryl 4-10 pentaoleate polyglyceryl-3 dioleate; polyglyceryl-6 dioleate; polyglyceryl-3 distearate; propylene glycol mono- or diesters of a C.sub.6 to C.sub.22 fatty acid; monoglycerides of a C.sub.6 to C.sub.22 fatty acid; acetylated monoglycerides of C.sub.6 to C.sub.22 fatty acid; diglycerides or C.sub.6 to C.sub.22 fatty acids; lactic acid derivatives of monoglycerides; lactic acid derivatives of diglycerides; cholesterol; phytosterol; PEG 5-20 soya sterol; PEG-6 sorbitan tetra, hexastearate; PEG-6 sorbitan tetraoleate; sorbitan monolaurate; sorbitan monopalmitate; sorbitan monooleate; sorbitan monostearate; sorbitan monoisostearate; sorbitan sesquioleate; sorbitan sesquistearate; PEG 2-5 oleyl ether; POE 2-4 lauryl ether; PEG-2 cetyl ether; PEG-2 stearyl ether; sucrose distearate; sucrose dipalmitate; ethyl oleate; isopropyl myristate; isopropyl palmitate; ethyl linoleate; isopropyl linoleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.



108. The pharmaceutical system of claim 97, wherein the hydrophobic surfactant is selected from the group consisting of oleic acid; lauric acid; glyceryl monocaprates; glyceryl monocaprylate; glyceryl monolaurate; glyceryl monooleate; glyceryl dicaprates; glyceryl dicaprylate; glyceryl dilaurate; glyceryl dioleate; acetylated monoglycerides; propylene glycol oleate; propylene glycol laurate; polyglyceryl-3 oleate; polyglyceryl-6 dioleate; PEG-6 corn oil; PEG-20 corn oil; PEG-20 almond oil; sorbitan monooleate; sorbitan monolaurate; POE-4 lauryl ether; POE-3 oleyl ether; ethyl oleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.

117. The pharmaceutical system of claim 76, wherein the solubilizer is selected from the group consisting of alcohols, polyols, amides, esters, propylene glycol ethers and mixtures thereof.

129. The pharmaceutical system of claim 76, wherein the system is free of polyethylene glycol diesters.

141. The pharmaceutical system of claim 76, wherein the dosage form of the composition is a solution, suspension, emulsion, cream, ointment, lotion, suppository, spray, aerosol, paste, gel, drops, douche, ovule, wafer, troche, cachet, syrup or elixir.

153. An absorption enhancing composition for co-administration to a patient with a hydrophilic therapeutic agent, the composition consisting essentially of an effective amount of an absorption enhancer comprising at least one hydrophilic surfactant selected from the group consisting of ionized surfactants, non-ionic hydrophilic surfactants having an HLB value greater than or equal to 10, and combinations thereof, and at least one hydrophobic surfactant selected from the group consisting of hydrophobic (a) alcohols, polyoxyethylene alkylethers, bile acids, glycerol fatty acid monoesters, glycerol fatty acid diesters, acetylated glycerol fatty acid monoesters, acetylated glycerol fatty acid diesters, lower alcohol fatty acid monoesters, lower alcohol fatty acid diesters, polyethylene glycol fatty acid esters, polyethylene glycol glycerol fatty acid esters, polypropylene glycol fatty acid esters, polyoxyethylene glycerides, lactic acid derivatives of mono- and diglycerides, propylene glycol diglycerides, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters, polyoxyethylene-polyoxypropylene block copolymers, transesterified vegetable oils, sugar esters, sugar ethers, sucroglycerides, polyoxyethylene vegetable oils, polyoxyethylene hydrogenated vegetable oils, reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils, and hydrophobic, un-ionized (b) fatty acids, carnitine fatty acid esters, alkylsulfates, acyl lactylates, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, succinylated monoglycerides, citric acid esters of mono- and diglycerides, and mixtures thereof, wherein the hydrophilic and hydrophobic surfactants are present in amounts such that upon mixing with an aqueous diluent the composition forms a clear aqueous dispersion having an absorbance of less than about 0.3 at 400 nm, the absorption enhancing composition being free of triglycerides.

156. A method of controlling the rate, the extent, or both the rate and extent of bioabsorption of a hydrophilic therapeutic agent administered to a patient, the method comprising:

(a) providing a dosage form of an absorption enhancing composition, the composition consisting essentially of at least one hydrophilic surfactant selected from the group consisting of ionized surfactants, non-ionic hydrophilic surfactants having an HLB value greater than or equal to 10, and combinations thereof, and at least one hydrophobic surfactant selected from the group consisting of hydrophobic (a) alcohols, polyoxyethylene alkylethers, bile acids, glycerol fatty acid monoesters, glycerol fatty acid diesters, acetylated glycerol fatty acid monoesters, glycerol fatty acid diesters, lower alcohol fatty acid monoesters, lower alcohol fatty acid diesters, polyethylene glycol fatty acid esters, polyethylene glycol glycerol fatty acid esters, polypropylene glycol fatty acid esters, polyoxyethylene glycerides, lactic acid derivatives of mono- and diglycerides, propylene glycol diglycerides, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters,

- polyoxyethylene-polyoxypropylene block copolymers, transesterified vegetable oils, sugar esters, sugar ethers, sucroglycerides, polyoxyethylene vegetable oils, polyoxyethylene hydrogenated vegetable oils, reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils, and hydrophobic, un-ionized (b) fatty acids, carnitine fatty acid esters, alkylsulfates, acyl lactylates, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, succinylated monoglycerides, citric acid esters of mono- and diglycerides, and mixtures thereof, wherein the hydrophilic and hydrophobic surfactants are present in amounts such that upon mixing with an aqueous diluent the composition forms a clear aqueous dispersion having an absorbance of less than about 0.3 at 400 nm, and wherein the composition is free of triglycerides;

(b) providing a hydrophilic therapeutic agent; and

(c) administering the dosage form of the absorption enhancing composition and the hydrophilic therapeutic agent to the patient.

165. A pharmaceutical system for enhanced absorption of a hydrophilic therapeutic agent in the form of a diluted preconcentrate, the system consisting essentially of:

(a) a dosage form of an absorption enhancing composition, the composition comprising:

(i) at least one hydrophilic surfactant selected from the group consisting of ionized ionizable surfactants, non-ionic hydrophilic surfactants having an HLB value greater than or equal to 10, and combinations thereof,

(ii) at least one hydrophobic surfactant selected from the group consisting of hydrophobic (a) alcohols, polyoxyethylene alkylethers, bile acids, glycerol fatty acid monoesters, glycerol fatty acid diesters, acetylated glycerol fatty acid monoesters, acetylated glycerol fatty acid diesters, lower alcohol fatty acid monoesters, lower alcohol fatty acid diesters, polyethylene glycol fatty acid esters, polyethylene glycol glycerol fatty acid esters, polypropylene glycol fatty acid esters, polyoxyethylene glycerides, lactic acid derivatives of mono- and diglycerides, propylene glycol diglycerides, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters, polyoxyethylene-polyoxypropylene block copolymers, transesterified vegetable oils, sugar esters, sugar ethers, sucroglycerides, polyoxyethylene vegetable oils, polyoxyethylene hydrogenated vegetable oils, reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils, and hydrophobic, un-ionized (b) fatty acids, carnitine fatty acid esters, alkylsulfates, acyl lactylates, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, succinylated monoglycerides, citric acid esters of mono- and diglycerides, and mixtures thereof, wherein the hydrophilic and hydrophobic surfactants are present in amounts such that upon mixing with an aqueous diluent at 100.times. dilution, the composition forms a clear aqueous dispersion having an absorbance of less than about 0.3 at 400 nm,

(iii) a liquid diluent; and

(b) a therapeutically effective amount of a hydrophilic therapeutic agent;

wherein the pharmaceutical system is free of triglycerides.

166. A pharmaceutical system for enhancing absorption, or a hydrophilic therapeutic agent in the form of a diluted preconcentrate, the system consisting essentially of:

(a) a dosage form of an absorption enhancing composition, the composition comprising:

- (i) at least one hydrophilic surfactant selected from the group consisting of ionized ionizable surfactants, non-ionic hydrophilic surfactants having an HLB value greater than or equal to 10, and combinations thereof,
- (ii) at least one hydrophobic surfactant selected from the group consisting of hydrophobic (a) alcohols, polyoxyethylene alkylethers, bile acids, glycerol fatty acid monoesters, glycerol fatty acid diesters, acetylated glycerol fatty acid monoesters, acetylated glycerol fatty acid diesters, lower alcohol fatty acid monoesters, lower alcohol fatty acid diesters, polyethylene glycol fatty acid monoesters, polyethylene glycol glycerol fatty acid esters, polypropylene glycol fatty acid esters, polyoxyethylene glycerides, lactic acid derivatives of mono- and diglycerides, propylene glycol diglycerides, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters, polyoxyethylene-polyoxypropylene block copolymers, transesterified vegetable oils, sugar esters, sugar ethers, sucroglycerides, polyoxyethylene vegetable oils, polyoxyethylene hydrogenated vegetable oils, reaction products of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, and hydrogenated vegetable oils, and hydrophobic, un-ionized (b) fatty acids, carnitine fatty acid esters, alkylsulfates, acyl lactylates, mono-acetylated tartaric acid esters of mono- and diglycerides, diacetylated tartaric acid esters of mono- and diglycerides, succinylated monoglycerides, citric acid esters of mono- and diglycerides, and mixtures thereof, wherein the hydrophilic and hydrophobic surfactants are present in amounts such that upon mixing with an aqueous diluent at 100.times. dilution, the composition forms a clear aqueous dispersion having an absorbance of less than about 0.3 at 400 nm, wherein the hydrophilic and hydrophobic surfactants are present in amounts such that upon mixing with an aqueous diluent at 100.times. dilution, The composition forms a clear aqueous dispersion having an absorbance of less than about 0.3 at 400 nm,
- (iii) at least one solubilizer, and
- (iv) a liquid diluent; and
- (b) a therapeutically effective amount of a hydrophilic therapeutic agent;
- wherein the pharmaceutical system is free of triglycerides.

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L5: Entry 6 of 9

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TITLE: Clear oil-containing pharmaceutical compositions

CLAIMS:

9. The pharmaceutical composition of claim 6, wherein the non-ionic surfactant is selected from the group consisting of alkylglucosides; alkylmaltosides; alkylthioglucoisides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene sterols; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; sugar esters, sugar ethers; sucroglycerides; and mixtures thereof.

10. The pharmaceutical composition of claim 6, wherein the non-ionic hydrophilic surfactant is selected from the group consisting of polyoxyethylene alkylethers; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

13. The pharmaceutical composition of claim 10, wherein the polyol is selected from the group consisting of glycerol, ethylene glycol, polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, a saccharide, and mixtures thereof.

14. The pharmaceutical composition of claim 6, wherein the hydrophilic surfactant is selected from the group consisting of PEG-10 laurate, PEG-12 laurate, PEG-20 laurate, PEG-32 laurate, PEG-32 dilaurate, PEG-12 oleate, PEG-15 oleate, PEG-20 oleate, PEG-20 dioleate, PEG-32 oleate, PEG-200 oleate, PEG-400 oleate, PEG-15 stearate, PEG-32 distearate, PEG-40 stearate, PEG-100 stearate, PEG-20 dilaurate, PEG-25 glyceryl trioleate, PEG-32 dioleate, PEG-20 glyceryl laurate, PEG-30 glyceryl laurate, PEG-20 glyceryl stearate, PEG-20 glyceryl oleate, PEG-30 glyceryl oleate, PEG-30 glyceryl laurate, PEG-40 glyceryl laurate, PEG-40 palm kernel oil, PEG-50 hydrogenated castor oil, PEG-40 castor oil, PEG-35 castor oil, PEG-60 castor oil, PEG-40 hydrogenated castor oil, PEG-60 hydrogenated castor oil, PEG-60 corn oil, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, polyglyceryl-10 laurate, PEG-30 cholesterol, PEG-25 phyto sterol, PEG-30 soya sterol, PEG-20 trioleate, PEG-40 sorbitan oleate, PEG-80 sorbitan laurate, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, POE-20 oleyl ether, POE-20 stearyl ether, tocopheryl PEG-100 succinate, PEG-24 cholesterol, polyglyceryl-10 oleate, Tween 40, Tween 60, sucrose monostearate, sucrose monolaurate, sucrose monopalmitate, PEG 10-100 nonyl phenol series, PEG 15-100 octyl phenol series, a poloxamer, and mixtures thereof.

15. The pharmaceutical composition of claim 6, wherein the hydrophilic surfactant is selected from the group consisting of PEG-20 laurate, PEG-20 oleate, PEG-35 castor oil, PEG-40 palm kernel oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-25 glyceryl trioleate, polyglyceryl-10 laurate, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, PEG-30 cholesterol, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, PEG-24 cholesterol, sucrose monostearate, sucrose monolaurate, a poloxamer, and mixtures thereof.

16. The pharmaceutical composition of claim 6, wherein the hydrophilic surfactant is selected from the group consisting of PEG-35 castor oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-25 glyceryl trioleate, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, polysorbate 20, polysorbate 80, tocopheryl PEG-1000 succinate, PEG-24 cholesterol, a poloxamer, and mixtures thereof.

17. The pharmaceutical composition of claim 7, wherein the ionic surfactant is selected from the group

- consisting of alkyl ammonium salts; bile salts; fusidic acid; fatty acid conjugates of amino acids, oligopeptides, and polypeptides; glyceride esters of amino acids, oligopeptides, and polypeptides; acyl lactylates; mono- and diacetylated tartaric acid esters of mono- and diglycerides; succinylated monoglycerides; citric acid esters of mono- and diglycerides; alginate salts; propylene glycol alginate; lecithins and hydrogenated lecithins; lysolecithin and hydrogenated lysolecithins; lysophospholipids; carnitine fatty acid ester salts; phospholipids; salts of alkylsulfates; salts of fatty acids; sodium docusate; and mixtures thereof.

19. The pharmaceutical composition of claim 7, wherein the ionic surfactant is selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, phosphatidic acid, phosphatidylserine, lysophosphatidylcholine, lysophosphatidylethanolamine, dysophosphatidylglycerol, lysophosphatidic acid, lysophosphatidylserine, PEG-phosphatidylethanolamine, PVP-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, mono/diacetylated tartaric acid esters of mono/diglycerides, citric acid esters of mono/diglycerides, cholate, taurocholate, glycocholate, deoxycholate, taurodeoxycholate, chenodeoxycholate, glycodeoxycholate, glycochenodeoxycholate, taurochenodeoxycholate, ursodeoxycholate, lithocholate, tauroursodeoxycholate, glyoursodeoxycholate, cholylsarcosine, N-methyl taurocholate, caproate, caprylate, caprate, laurate, myristate, palmitate, oleate, ricinoleate, linoleate, linolenate, stearate, lauryl sulfate, tetraacetyl sulfate, docusate, lauroyl carnitine, palmitoyl carnitine, myristoyl , carnitine, and salts and mixtures thereof.

20. The pharmaceutical composition of claim 7, wherein the ionic surfactant is selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, lysophosphatidylcholine, PEG-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, mono/diacetylated tartaric acid esters of mono/diglycerides, citric acid esters of mono/diglycerides, cholate, taurocholate, glycocholate, deoxycholate, chenodeoxycholate, lithocholate, ursodeoxycholate, taurodeoxycholate, glycodeoxycholate, cholylsarcosine, caproate, caprylate, caprate, laurate, oleate, lauryl sulfate, docusate, lauroyl carnitine, palmitoyl carnitine, myristoyl carnitine, and salts and mixtures thereof.

25. The pharmaceutical composition of claim 24, wherein the hydrophobic surfactant is selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; bile acids; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lower alcohol fatty acids esters; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid esters of mono/diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

26. The pharmaceutical composition of claim 24, wherein the hydrophobic surfactant is selected from the group consisting of fatty acids; bile acids; lower alcohol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid esters of mono/diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

27. The pharmaceutical composition of claim 24, wherein the hydrophobic surfactant is selected from the

group consisting of bile acids; lower alcohol fatty acids esters; polypropylene glycol fatty acid esters; propylene glycol fatty acid esters; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid esters of mono/diglycerides; sorbitan fatty acid esters; polyoxyethylene vegetable oils; and mixtures thereof.

33. The pharmaceutical composition of claim 31, wherein the polyol is selected from the group consisting of polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, a saccharide, and mixtures thereof.

34. The pharmaceutical composition of claim 24, wherein the hydrophobic surfactant is selected from the group consisting of myristic acid; oleic acid; lauric acid; stearic acid; palmitic acid; PEG 1-4 stearate; PEG 2-4 oleate; PEG-4 dilaurate; PEG-4 dioleate; PEG-4 distearate; PEG-6 dioleate; PEG-6 distearate; PEG-8 dioleate; PEG 3-16 castor oil; PEG 5-10 hydrogenated castor oil; PEG 6-20 corn oil; PEG 6-20 almond oil; PEG-6 olive oil; PEG-6 peanut oil; PEG-6 palm kernel oil; PEG-6 hydrogenated palm kernel oil; PEG-4 capric/caprylic triglyceride, mono, di, tri, tetra esters of vegetable oil and sorbitol; pentaerythrityl di, tetra stearate, isostearate, oleate, caprylate, or caprate; polyglyceryl 2-4 oleate, stearate, or isostearate; polyglyceryl 4-10 pentaoleate; polyglyceryl-3 dioleate; polyglyceryl-6 dioleate; polyglyceryl-10 trioleate; polyglyceryl-3 distearate; propylene glycol mono- or diesters of a C.sub.6 to C.sub.22 fatty acid; monoglycerides of a C.sub.6 to C.sub.22 fatty acid; acetylated monoglycerides of a C.sub.6 to C.sub.22 fatty acid; diglycerides of C.sub.6 to C.sub.22 fatty acids; lactic acid esters of monoglycerides; lactic acid esters of diglycerides; cholesterol; phytosterol; PEG 5-20 soya sterol; PEG-6 sorbitan tetra, hexastearate; PEG-6 sorbitan tetraoleate; sorbitan monolaurate; sorbitan monopalmitate; sorbitan mono, trioleate; sorbitan mono, tristearate; sorbitan monoisostearate; sorbitan sesquioleate; sorbitan sesquistearate; PEG 2-5 oleyl ether; POE 2-4 lauryl ether; PEG-2 cetyl ether; PEG-2 stearyl ether; sucrose distearate; sucrose dipalmitate; ethyl oleate; isopropyl myristate; isopropyl palmitate; ethyl linoleate; isopropyl linoleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.

35. The pharmaceutical composition of claim 24, wherein the hydrophobic surfactant is selected from the group consisting of oleic acid; lauric acid; glyceryl monocaprate; glyceryl monocaprylate; glyceryl monolaurate; glyceryl monooleate; glyceryl dicaprate; glyceryl dicaprylate; glyceryl dilaurate; glyceryl dioleate; acetylated monoglycerides; propylene glycol oleate; propylene glycol laurate; polyglyceryl-3 oleate; polyglyceryl-6 dioleate; PEG-6 corn oil; PEG-20 corn oil; PEG-20 almond oil; sorbitan monooleate; sorbitan monolaurate; POE-4 lauryl ether; POE-3 oleyl ether; ethyl oleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.

49. The pharmaceutical composition of claim 48, wherein the solubilizer is selected from the group consisting of alcohols, polyols, amides, esters, propylene glycol ethers and mixtures thereof.

50. The pharmaceutical composition of claim 49, wherein the alcohol or polyol is selected from the group consisting of ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, propylene glycol, butanediols and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, maltol, maltodextrins, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other cellulosic polymers, cyclodextrins, and mixtures thereof.

52. The pharmaceutical composition of claim 49, wherein the ester is selected from the group consisting of ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl oleate, ethyl caprylate, ethyl butyrate, triacetin, propylene glycol monoacetate, propylene glycol diacetate, .epsilon.-caprolactone and isomers thereof, .delta.-valerolactone and isomers thereof, .beta.-butyrolactone and isomers thereof, and mixtures thereof.

53. The pharmaceutical composition of claim 48, wherein the solubilizer is selected from the group consisting

of ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, propylene glycol, butanediol and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other cellulosic polymers, cyclodextrins, ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl oleate, ethyl caprylate, ethyl butyrate, triacetin, propylene glycol diacetate, .epsilon.-caprolactone and isomers thereof, .delta.-valerolactone and isomers thereof, .beta.-butyrolactone and isomers thereof, 2-pyrrolidone, 2-piperidone, .epsilon.-caprolactam, N-methylpyrrolidone, N-ethylpyrrolidone, N-hydroxyethyl pyrrolidone, N-octylpyrrolidone, N-laurylpyrrolidone, dimethylacetamide, polyvinylpyrrolidone, glycofurool, methoxy PEG, and mixtures thereof.

54. The pharmaceutical composition of claim 42, wherein the solubilizer is selected from the group consisting of ethanol, isopropanol, benzyl alcohol, ethylene glycol, propylene glycol, 1,3-butanediol, glycerol, pentaerythritol, sorbitol, glycofurool, transcitol, dimethyl isosorbide, polyethylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose, methylcellulose, ethylcellulose, hydroxypropylcyclodextrins, sulfobutyl ether derivatives of cyclodextrins, ethyl propionate, tributylcitrate, triethylcitrate, ethyl oleate, ethyl caprylate, triacetin, .beta.-butyrolactone and isomers thereof, 2-pyrrolidone, N-methylpyrrolidone, N-ethylpyrrolidone, N-hydroxyethylpyrrolidone, N-octylpyrrolidone, N-laurylpyrrolidone, dimethylacetamide, polyvinylpyrrolidone, and mixtures thereof.

55. The pharmaceutical composition of claim 48, wherein the solubilizer is selected from the group consisting of triacetin, triethylcitrate, ethyl oleate, ethyl caprylate, dimethylacetamide, N-methylpyrrolidone, N-hydroxyethylpyrrolidone, polyvinylpyrrolidone, hydroxypropyl methylcellulose, hydroxypropyl cyclodextrins, ethanol, polyethylene glycol 200-600, glycofurool, transcitol, propylene glycol, dimethyl isosorbide and mixtures thereof.

56. The pharmaceutical composition of claim 48, wherein the solubilizer is selected from the group consisting of triacetin, ethanol, polyethylene glycol 400, glycofurool, propylene glycol and mixtures thereof.

67. A dosage form comprising the pharmaceutical composition of claim 1, wherein the dosage form is selected from the group consisting of a solution, suspension, emulsion, cream, ointment, lotion, suppository, spray, aerosol, paste, gel, drops, douche, ovule, wafer, troche, cachet, syrup and elixir.

83. The pharmaceutical composition of claim 80, wherein the non-ionic surfactant is selected from the group consisting of alkylglucosides; alkylmaltosides; alkylthioglucosides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene sterols; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; sugar esters, sugar ethers; sucroglycerides; and mixtures thereof.

84. The pharmaceutical composition of claim 80, wherein the non-ionic hydrophilic surfactant is selected from the group consisting of polyoxyethylene alkylethers; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

87. The pharmaceutical composition of claim 84, wherein the polyol is selected from the group consisting of

- glycerol, ethylene glycol, polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, a saccharide, and mixtures thereof.
- 88. The pharmaceutical composition of claim 80, wherein the hydrophilic surfactant is selected from the group consisting of PEG-10 laurate, PEG-12 laurate, PEG-20 laurate, PEG-32 laurate, PEG-32 dilaurate, PEG-12 oleate, PEG-15 oleate, PEG-20 oleate, PEG-20 dioleate, PEG-32 oleate, PEG-200 oleate, PEG-400 oleate, PEG-15 stearate, PEG-32 distearate, PEG-40 stearate, PEG-100 stearate, PEG-20 dilaurate, PEG-25 glyceryl trioleate, PEG-32 dioleate, PEG-20 glyceryl laurate, PEG-30 glyceryl laurate, PEG-20 glyceryl stearate, PEG-20 glyceryl oleate, PEG-30 glyceryl oleate, PEG-30 glyceryl laurate, PEG-40 glyceryl laurate, PEG-40 palm kernel oil, PEG-50 hydrogenated castor oil, PEG-40 castor oil, PEG-35 castor oil, PEG-60 castor oil, PEG-40 hydrogenated castor oil, PEG-60 hydrogenated castor oil, PEG-60 corn oil, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, polyglyceryl-10 laurate, PEG-30 cholesterol, PEG-25 phyto sterol, PEG-30 soya sterol, PEG-20 trioleate, PEG-40 sorbitan oleate, PEG-80 sorbitan laurate, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, POE-20 oleyl ether, POE-20 stearyl ether, tocopheryl PEG-100 succinate, PEG-24 cholesterol, polyglyceryl-10 oleate, Tween 40, Tween 60, sucrose monostearate, sucrose monolaurate, sucrose monopalmitate, PEG 10-100 nonyl phenol series, PEG 15-100 octyl phenol series, a poloxamer, and mixtures thereof.
- 89. The pharmaceutical composition of claim 80, wherein the hydrophilic surfactant is selected from the group consisting of PEG-20 laurate, PEG-20 oleate, PEG-35 castor oil, PEG-40 palm kernel oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-25 glyceryl trioleate, polyglyceryl-10 laurate, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, PEG-30 cholesterol, polysorbate 20, polysorbate 80, POE-9 lauryl ether, POE-23 lauryl ether, POE-10 oleyl ether, PEG-24 cholesterol, sucrose monostearate, sucrose monolaurate, a poloxamer, and mixtures thereof.
- 90. The pharmaceutical composition of claim 80, wherein the hydrophilic surfactant is selected from the group consisting of PEG-35 castor oil, PEG-40 hydrogenated castor oil, PEG-60 corn oil, PEG-25 glyceryl trioleate, PEG-6 caprate/caprylate glycerides, PEG-8 caprate/caprylate glycerides, polysorbate 20, polysorbate 80, tocopheryl PEG-1000 succinate, PEG-24 cholesterol, a poloxamer, and mixtures thereof.
- 91. The pharmaceutical composition of claim 81, wherein the ionic surfactant is selected from the group consisting of alkyl ammonium salts; bile salts; fusidic acid; fatty acid conjugates of amino acids, oligopeptides, and polypeptides; glyceride esters of amino acids, oligopeptides, and polypeptides; acyl lactylates; mono- and diacetylated tartaric acid esters of mono- and diglycerides; succinylated monoglycerides; citric acid esters of mono- and diglycerides; alginate salts; propylene glycol alginate; lecithins and hydrogenated lecithins; lysolecithin and hydrogenated lysolecithins; lysophospholipids; carnitine fatty acid ester salts; phospholipids; salts of alkylsulfates; salts of fatty acids; sodium docusate; and mixtures thereof.
- 93. The pharmaceutical composition of claim 81, wherein the ionic surfactant is selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, phosphatidic acid, phosphatidylserine, lysophosphatidylcholine, lysophosphatidylethanolamine, lysophosphatidylglycerol, lysophosphatidic acid, lysophosphatidylserine, PEG-phosphatidylethanolamine, PVP-phosphatidylethanolamine, lactic esters of fatty acids, stearyl-2-lactylate, stearyl lactylate, succinylated monoglycerides, mono/diacetylated tartaric acid esters of mono/diglycerides, citric acid esters of mono/diglycerides, cholate, chenodeoxycholate, lithocholate, ursodeoxycholate, taurocholate, glycocholate, deoxycholate, taurodeoxycholate, chenodeoxycholate, glycodeoxycholate, glycochenodeoxycholate, taurochenodeoxycholate, ursodeoxycholate, lithocholate, tauroursodeoxycholate, glyoursodeoxycholate, chylsarcosine, N-methyl taurocholate, caproate, caprylate, caprate, laurate, myristate, palmitate, oleate, ricinoleate, linoleate, linolenate, stearate, lauryl sulfate, tetraacetyl sulfate, docusate, lauroyl carnitine, palmitoyl carnitine, myristoyl carnitine, and salts and mixtures thereof.



94. The pharmaceutical composition of claim 81, wherein the ionic surfactant is selected from the group consisting of lecithin, lysolecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylglycerol, lysophosphatidylcholine, PEG-phosphatidylethanolamine, lactic esters of fatty acids, stearoyl-2-lactylate, stearoyl lactylate, succinylated monoglycerides, mono/diacetylated tartaric acid esters of mono/diglycerides, citric acid esters of mono/diglycerides, cholate, taurocholate, glycocholate, deoxycholate, taurodeoxycholate, chenodeoxycholate, lithocholate, ursodeoxycholate, glycodeoxycholate, cholylsarcosine, caproate, caprylate, caprate, laurate oleate, lauryl sulfate, docusate, lauroyl carnitine, palmitoyl carnitine, myristoyl carnitine, and salts and mixtures thereof.

97. The pharmaceutical composition of claim 96, wherein the hydrophobic surfactant is selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; bile acids; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lower alcohol fatty acids esters; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid esters of mono/diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

98. The pharmaceutical composition of claim 96, wherein the hydrophobic surfactant is selected from the group consisting of fatty acids; bile acids; lower alcohol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid esters of mono/diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

99. The pharmaceutical composition of claim 96, wherein the hydrophobic surfactant is selected from the group consisting of bile acids; lower alcohol fatty acid esters; polypropylene glycol fatty acid esters; propylene glycol fatty acid esters; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid derivatives of mono/diglycerides; sorbitan fatty acid esters; polyoxyethylene vegetable oils; and mixtures thereof.

103. The pharmaceutical composition of claim 101, wherein the polyol is selected from the group consisting of polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, a saccharide, and mixtures thereof.

104. The pharmaceutical composition of claim 96, wherein the hydrophobic surfactant is selected from the group consisting of myristic acid; oleic acid; lauric acid; stearic acid; palmitic acid; PEG 1-4 stearate; PEG 2-4 oleate; PEG-4 dilaurate; PEG-4 dioleate; PEG-4 distearate; PEG-6 dioleate; PEG-6 distearate; PEG-8 dioleate; PEG 3-16 castor oil; PEG 5-10 hydrogenated castor oil; PEG 6-20 corn oil; PEG 6-20 almond oil; PEG-6 olive oil; PEG-6 peanut oil; PEG-6 palm kernel oil; PEG-6 hydrogenated palm kernel oil; PEG-4 capric/caprylic triglyceride, mono, di, tri, tetra esters of vegetable oil and sorbitol; pentaerythrityl di, tetra stearate, isostearate, oleate, caprylate, or caprate; polyglyceryl 2-4 oleate, stearate, or isostearate; polyglyceryl 4-10 pentaoleate; polyglyceryl-3 dioleate; polyglyceryl-6 dioleate; polyglyceryl-10 trioleate; polyglyceryl-3 distearate; propylene glycol mono- or diesters of a C.sub.6 to C.sub.22 fatty acid; monoglycerides of a C.sub.6 to C.sub.22 fatty acid; acetylated monoglycerides of a C.sub.6 to C.sub.22 fatty acid; diglycerides of C.sub.6 to C.sub.22 fatty acids; lactic acid esters of monoglycerides; lactic acid esters of diglycerides; cholesterol;

phytosterol; PEG 5-20 soya sterol; PEG-6 sorbitan tetra, hexastearate; PEG-6 sorbitan tetraoleate; sorbitan monolaurate; sorbitan monopalmitate; sorbitan mono, trioleate; sorbitan mono, tristearate; sorbitan monoisostearate; sorbitan sesquioleate; sorbitan sesquisteate; PEG 2-5 oleyl ether; POE 2-4 lauryl ether; PEG-2 cetyl ether; PEG-2 stearyl ether; sucrose distearate; sucrose dipalmitate; ethyl oleate; isopropyl myristate; isopropyl palmitate; ethyl linoleate; isopropyl linoleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.

105. The pharmaceutical composition of claim 96, wherein the hydrophobic surfactant is selected from the group consisting of oleic acid; lauric acid; glyceryl monocaprate; glyceryl monocaprylate; glyceryl monolaurate; glyceryl monooleate; glyceryl dicaprate; glyceryl dicaprylate; glyceryl dilaurate; glyceryl dioleate; acetylated monoglycerides; propylene glycol oleate; propylene glycol laurate; polyglyceryl-3 oleate; polyglyceryl-6 dioleate; PEG-6 corn oil; PEG-20 corn oil; PEG-20 almond oil; sorbitan monooleate; sorbitan monolaurate; POE-4 lauryl ether; POE-3 oleyl ether; ethyl oleate; poloxamers; cholic acid; ursodeoxycholic acid; glycocholic acid; taurocholic acid; lithocholic acid; deoxycholic acid; chenodeoxycholic acid; and mixtures thereof.

117. The pharmaceutical composition of claim 116, wherein the solubilizer is selected from the group consisting of alcohols, polyols, amides, esters, propylene glycol ethers and mixtures thereof.

118. The pharmaceutical composition of claim 117, wherein the alcohol or polyol is selected from the group consisting of ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, propylene glycol, butanediols and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, maltol, maltodextrins, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other cellulosic polymers, cyclodextrins, and mixtures thereof.

120. The pharmaceutical composition of claim 117, wherein the ester is selected from the group consisting of ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl oleate, ethyl caprylate, ethyl butyrate, triacetin, propylene glycol monoacetate, propylene glycol diacetate, .epsilon.-caprolactone and isomers thereof, .delta.-valerolactone and isomers thereof, .beta.-butyrolactone and isomers thereof, and mixtures thereof.

121. The pharmaceutical composition of claim 116, wherein the solubilizer is selected from the group consisting of ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, propylene glycol, butanediol and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other cellulosic polymers, cyclodextrins, ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl oleate, ethyl caprylate, ethyl butyrate, triacetin, propylene glycol diacetate, .epsilon.-caprolactone and isomers thereof, .delta.-valerolactone and isomers thereof, .beta.-butyrolactone and isomers thereof, 2-pyrrolidone, 2-piperidone, .epsilon.-caprolactam, N-methylpyrrolidone, N-ethylpyrrolidone, N-hydroxyethyl pyrrolidone, N-octylpyrrolidone, --laurylpyrrolidone, dimethylacetamide, polyvinylpyrrolidone, glycofurol, methoxy PEG, and mixtures thereof.

122. The pharmaceutical composition of claim 116, wherein the solubilizer is selected from the group consisting of ethanol, isopropanol, benzyl alcohol, ethylene glycol, propylene glycol, 1,3-butanediol, glycerol, pentaerythritol, sorbitol, glycofurol, transcitol, dimethyl isosorbide, polyethylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose, methylcellulose, ethylcellulose, hydroxypropylcyclodextrins, sulfobutyl ether conjugates of cyclodextrins, ethyl propionate, tributylcitrate, triethylcitrate, ethyl oleate, ethyl caprylate, triacetin, .beta.-butyrolactone and isomers thereof, 2-pyrrolidone, N-methylpyrrolidone, N-ethylpyrrolidone, N-hydroxyethylpyrrolidone, N-octylpyrrolidone, N-laurylpyrrolidone, dimethylacetamide, polyvinylpyrrolidone, and mixtures thereof.

123. The pharmaceutical composition of claim 116, wherein the solubilizer is selected from the group consisting of triacetin, triethylcitrate, ethyl oleate, ethyl caprylate, dimethylacetamide, N-methylpyrrolidone, N-hydroxyethylpyrrolidone, polyvinylpyrrolidone, hydroxypropyl methylcellulose, hydroxypropyl cyclodextrins, ethanol, polyethylene glycol 200-600, glycofurol, transcutool, propylene glycol, dimethyl isosorbide, and mixtures thereof.

124. The pharmaceutical composition of claim 116, wherein the solubilizer is selected from the group consisting of triacetin, ethanol, polyethylene glycol 400, glycofurol, propylene glycol and mixtures thereof.

135. A dosage form comprising the pharmaceutical composition of claim 75, wherein the dosage form is selected from the group consisting of a solution, suspension, emulsion, cream, ointment, lotion, suppository, spray, aerosol, paste, gel, drops, douche, ovule, wafer, troche, cachet, syrup and elixir.

155. The method of claim 151, wherein the dosage form is selected from the group consisting of a solution, suspension, emulsion, cream, ointment, lotion, suppository, spray, aerosol, paste, gel, drops, douche, ovule, wafer, troche, cachet, syrup and elixir.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC	Draw Desc
Image											

## ☐ 7. Document ID: US 6110501 A

L5: Entry 7 of 9

File: USPT

Aug 29, 2000

DOCUMENT-IDENTIFIER: US 6110501 A

TITLE: Seeded microcapsules for use in tablets, pharmaceutical agents and nutritional compounds

### CLAIMS:

5. The Microcapsule of claim 1 wherein the seeds of the capsule are selected from the group consisting of

\_\_\_\_\_  
Acacia, Carbomer, Chlorobutanol, Alcohol, Carbon Dioxide, Cholesterol, Alginate Acid, Carboxymethylcellulose Citric Acid, Ascorbic Acid, Calcium, Pharmaceutical Coloring Bentonite, Carboxymethylcellulose Agents, Benzalkonium Chloride, Sodium, Corn Oil, Benzoic Acid, Hydrogenated Castor Cottonseed Oil, Benzyl Alcohol, Oil, Dextrin, Butane, Cellulose Acetate Dextrose, Butylated Phthalate, Dichlorodifluoromethane, Hydroxyanisole, Microcrystalline Dichlorotetrafluoroethane, Burylated Cellulose, Diethanolamine, Hydroxytoluene, Powdered Cellulose, Diethyl Phthalate, Butylparaben, Cetomacrogol Docusate Sodium, Precipitated Calcium Emulsifying Wax, Edetic Acid and Edetates, Phosphate, Cetostearyl Alcohol, Ethyl Oleate, Tribasic Calcium Cetrimide, Ethylcellulose, Phosphate, Cetyl Alcohol, Ethylparaben, Calcium Stearate, Cetyl Esters Wax, Fumaric Acid,

**WEST**

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L12: Entry 10 of 44

File: USPT

Mar 14, 2000

DOCUMENT-IDENTIFIER: US 6037360 A

TITLE: Administration of 5-HT.sub.3 receptor antagonists to treat premature ejaculation

## CLAIMS:

13. The method of claim 12, wherein the urethral suppository contains a pharmacologically acceptable carrier comprised of polyethylene glycol.

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L12: Entry 12 of 44

File: USPT

Mar 7, 2000

DOCUMENT-IDENTIFIER: US 6033683 A

TITLE: Method of the manufacture of suppositories

## CLAIMS:

4. The process for the preparation of suppositories of claim 1 wherein the fatty substances are stearates of polyethylene glycol or triglycerides of fatty acids having lipophilic and hydrophilic properties.

**WEST**

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L12: Entry 13 of 44

File: USPT

Jul 20, 1999

DOCUMENT-IDENTIFIER: US 5925629 A

TITLE: Transurethral administration of androgenic agents for the treatment of erectile dysfunction

## CLAIMS:

17. A pharmaceutical composition for treating erectile dysfunction in a male individual, comprising a urethral suppository containing a therapeutically effective amount of an androgenic agent selected from the group consisting of androsterone, dehydroepiandrosterone, testolactone, oxymetholone, and the pharmaceutically acceptable salts and esters thereof, a suppository base suitable for transurethral drug administration comprising polyethylene glycol having a molecular weight in the range of approximately 200 and 2500, and, optionally, a transurethral permeation enhancer, wherein the therapeutically effective amount of the androgenic agent is such that the composition is effective to treat erectile dysfunction when administered transurethally, and further wherein the suppository is approximately 2 to 20 mm in length and less than approximately 2 mm in length and less than approximately 2 in width.

22. A kit for treating erectile dysfunction in a male individual, comprising: a urethral suppository containing a therapeutically effective amount of an androgenic agent selected from the group consisting of androsterone, dehydroepiandrosterone, testolactone, oxymetholone, and the pharmaceutically acceptable salts and esters thereof, a suppository base suitable for transurethral drug administration comprising polyethylene glycol having a molecular weight in the range of approximately 200 and 2500, and, optionally, a transurethral permeation enhancer, wherein the therapeutically effective amount of the androgenic agent is such that the composition is effective to treat erectile dysfunction when administered transurethally; a drug delivery means for administering the composition transurethally; a container for housing the agent and drug delivery means; and written instructions for an individual to use the drug delivery means to carry out urethral drug administration in a manner effective to treat erectile dysfunction.

**WEST**

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L12: Entry 14 of 44

File: USPT

Jul 13, 1999

DOCUMENT-IDENTIFIER: US 5922750 A

TITLE: Terpenoidic derivatives useful as antitumor agents

## CLAIMS:

5. The pharmaceutical composition as set forth in claim 1, wherein said composition is in the form of a suppository and contains a carrier selected from the group consisting of cocoa butter, polyethylene glycol, polyoxyethylene sorbitan fatty acid ester surfactant and lecithin.

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L12: Entry 15 of 44

File: USPT

Jul 13, 1999

DOCUMENT-IDENTIFIER: US 5922341 A

TITLE: Local administration of pharmacologically active agents to treat premature ejaculation

**CLAIMS:**

20. The method of claim 19, wherein the urethral suppository contains a pharmacologically acceptable carrier selected from the group consisting of polyethylene glycol and derivatives thereof.

37. The formulation of claim 22, wherein the suppository base comprises polyethylene glycol.



**WEST**

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L12: Entry 16 of 44

File: USPT

Jul 6, 1999

DOCUMENT-IDENTIFIER: US 5919474 A

TITLE: Transurethral administration of vasoactive agents to treat peripheral vascular disease, related vascular diseases, and vascular impotence associated therewith

## CLAIMS:

35. A pharmaceutical formulation for treating peripheral vascular disease in an individual in need of such treatment, comprising a urethral suppository containing a therapeutically effective amount of a vasodilating agent selected from the group consisting of naturally occurring prostaglandins, synthetic prostaglandin derivatives, and mixtures thereof, a vehicle suitable for transurethral drug delivery, and, optionally, an enzyme-inhibiting amount of a compound effective to inhibit prostaglandin-degrading enzymes, and a suppository base suitable for transurethral drug delivery comprising polyethylene glycol having a molecular weight in the range of approximately 200 to 2500 wherein the therapeutically effective amount of the vasodilating agent is such that the composition is effective to treat peripheral vascular disease when administered transurethrally, and further wherein the suppository is approximately 2 to 20 mm in length and less than approximately 2 mm in width.

**WEST**

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L12: Entry 25 of 44

File: USPT

Mar 26, 1991

DOCUMENT-IDENTIFIER: US 5002771 A

TITLE: Calcitonin suppository formulations

## CLAIMS:

1. A suppository composition for rectal or vaginal administration comprising: from about 0.0004% w/w to about 0.200% w/w of a polypeptide having calcitonin activity (as hereinbefore defined); from about 2.5% w/w to about 50.0% w/w of caprylic acid monoglyceride; and suppository base selected from the group consisting of mixtures of polyethylene glycols or mixtures of mono-, di- and triglycerides of C.sub.10 to C.sub.20 chain length.

**WEST**

Generate Collection

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L12: Entry 6 of 44

File: USPT

Sep 5, 2000

DOCUMENT-IDENTIFIER: US 6113939 A

TITLE: Pharmaceutical compositions for treating priapism and peyronie's syndrome

Abstract Text (1):

A composition for the treatment of impotence via delivery to the urethra comprises a vasoactive prostaglandin and a polyethylene glycol dispersant having sufficient viscosity to be retained without spillage from a urethra receivable insert. The composition can additionally contain an .alpha.-blocker. Suppositories can be formulated that are small enough for administration to the male urethra, and that dissolve, melt or bioerode within the urethra to release the active agent(s). The suppositories can contain vasodilators other than prostaglandins as the active for the treatment of impotence. Suppositories can also be formulated that contain active agents useful in the treatment of priapism or Peyronie's syndrome.

☐ 2. Document ID: US 20030138455 A1 WO 200164186 A1 AU  
200141802 A EP 1263410 A1 BR 200109034 A

L9: Entry 2 of 5

File: DWPI

Jul 24, 2003

DERWENT-ACC-NO: 2001-625779

DERWENT-WEEK: 200352

COPYRIGHT 2003 DERWENT INFORMATION LTD

TITLE: Vaccine delivery system comprising a vaccine and a suppository base useful for treating or preventing urogenital and anorectal infectious disease caused by, e.g., bacteria, viruses, protozoa, and fungiINVENTOR: HERTELENDY, Z L; HOWELL, M ; THOMAS, J ; WEINER, M ; HERTELENDY, Z I ;  
HERTELENDY, Z W ; WEINER, M D M

PRIORITY-DATA: 2000US-0516078 (March 1, 2000)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 20030138455 A1	July 24, 2003		000	A61K039/12
WO 200164186 A1	September 7, 2001	E	026	A61K009/02
AU 200141802 A	September 12, 2001		000	A61K009/02
EP 1263410 A1	December 11, 2002	E	000	A61K009/02
BR 200109034 A	December 31, 2002		000	C22C047/14

INT-CL (IPC): A61 K 9/02; A61 K 39/116; A61 K 39/12; C22 C 47/14

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC	Draw. Desc
Image											

☐ 3. Document ID: JP 04013621 A

L9: Entry 3 of 5

File: DWPI

Jan 17, 1992

DERWENT-ACC-NO: 1992-069416

DERWENT-WEEK: 199209

COPYRIGHT 2003 DERWENT INFORMATION LTD

TITLE: Ascochlorin derivs. as calcium metabolism improving agents - improved renal calcium absorption and bone calcium metabolism abnormalities

PRIORITY-DATA: 1990JP-0114093 (April 24, 1990)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 04013621 A	January 17, 1992		000	

INT-CL (IPC): A61K 31/19; C07D 213/78

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
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☐ 4. Document ID: JP 60214735 A

L9: Entry 4 of 5

File: DWPI

Oct 28, 1985

DERWENT-ACC-NO: 1985-307664

DERWENT-WEEK: 198549

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TITLE: Fatty acid contg. compsn. for rectal use - giving good absorption of active ingredients

PRIORITY-DATA: 1984JP-0069663 (April 6, 1984)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 60214735 A	October 28, 1985		004	

INT-CL (IPC): A61K 9/02; A61K 31/20; C07C 57/03; C07C 69/53

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Image									

KWIC	Draw	Desc
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☐ 5. Document ID: JP 56150015 A JP 88051125 B

L9: Entry 5 of 5

File: DWPI

Nov 20, 1981

DERWENT-ACC-NO: 1982-02787E

DERWENT-WEEK: 198202

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TITLE: Antiallergic agents - esp. effective in treating slow reacting substances of anaphylaxis

PRIORITY-DATA: 1980JP-0053128 (April 21, 1980)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 56150015 A	November 20, 1981		004	
JP 88051125 B	October 13, 1988		000	

INT-CL (IPC): A61K 31/12; C07C 69/95

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC	Draw Desc
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Terms	Documents
L8 and I7 and I6	5

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L9: Entry 5 of 5

File: DWPI

Nov 20, 1981

DERWENT-ACC-NO: 1982-02787E

DERWENT-WEEK: 198202

COPYRIGHT 2003 DERWENT INFORMATION LTD

TITLE: Antiallergic agents - esp. effective in treating slow reacting substances of anaphylaxis

**Basic Abstract Text (1):**

Antiallergic agents contg. quinones of formula (I) are new (where n is 1-10; R1 is Me or MeOH, or two of them combined each other form -CH=CH-CH=CH-; R2 is H, lower alkyl or (CH<sub>2</sub>CH:C(Me)CH<sub>2</sub>)mH (where m is 1-10)). (I) are effective in prevention or treatment of allergic diseases caused by slow reacting substances of anaphylaxis (SRS-A) (e.g. bronchial asthma, allergic rhinitis, urticaria). (I) may be administered orally or parenterally (injection, external application, inhalation) at a dose of 0.1-10 mg/kg/adult a day. (I) may be formulated into capsules, granules, powders, tablets, troaches, pills, ointments, syrups, injections, suppositories, aerosols, or inhalations, together with various components such as excipient (e.g. sugar, lactose, glucose, starch, mannitol, sorbitol, cellulose, talc, cyclodextrin), binder (e.g. cellulose, methylcellulose, polyvinylpyrrolidone, gelatin, gum arabic, polyethylene glycol (PEG), sugar, starch), disintegrator (e.g. starch, CMC, CMC-Ca), lubricant (e.g. talc), preservative (e.g. Na benzoate, NaHSO<sub>3</sub>), suspending agent (e.g. methylcellulose, Al stearate), dispersing agent (e.g. Polysorbate 80, Emergen 408, Emerson 310), solvent (e.g. water), and base (e.g. cacao butter, PEG, Witepsol, vaseline).

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L9: Entry 4 of 5

File: DWPI

Oct 28, 1985

DERWENT-ACC-NO: 1985-307664

DERWENT-WEEK: 198549

COPYRIGHT 2003 DERWENT INFORMATION LTD

TITLE: Fatty acid contg. compsn. for rectal use - giving good absorption of active ingredients

Basic Abstract Text (3):

Surfactants are polyoxy-stearate 40, polysorbate 80, sodium laurate, sorbitan sesqui-oleate, glycerin mono-stearate, glycerin fatty acid esters, sorbitan fatty acid esters, oplyoxyethylene-higher aliphatic alcohols, propyleneglycol fatty acid esters, sorbitol trioleate, mono-oleic acid derivatives, mono-lauric acid derivatives, polyoxyethylenononylphenylether, polyoxyethylene-laurylether, polyoxyethylene-cetylether, isopropyl myristate, isopropyl palmitate, etc.

Basic Abstract Text (4):

The present compsn. may be formed into rectal suppositories, or encapsulated in soft capsules, or filled in tubes. Oily base component is olive oil, corn oil, cacao butter, etc.

Basic Abstract Text (5):

In an example, linolic acid (300 mg) and higher fatty acid-triglyceride (700mg) were heated at 40-45 deg.C, and the resulting paste was filled in a plastic mould for formation of suppositories and then gradually cooled, to obtain rectal suppositories.



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L9: Entry 3 of 5

File: DWPI

Jan 17, 1992

DERWENT-ACC-NO: 1992-069416

DERWENT-WEEK: 199209

COPYRIGHT 2003 DERWENT INFORMATION LTD

TITLE: Ascochlorin derivs. as calcium metabolism improving agents - improved renal calcium absorption and bone calcium metabolism abnormalities

Basic Abstract Text (2):

Among the derivs. of (I), the most potent and pref. cpds. are (I) (R = CH<sub>2</sub>COOH; AS-6) and (I) (R = nicotinoyl; AS-103). (I) can be used as they are or as a water-soluble form by neutralising with alkali. (I) can be administered orally or parenterally in a form of injection, powder, tablet, capsule, and suppository, opt. in admixture with proper adjuvants such as starch, CMC, laurates, various polysorbates, polyethylene glycol, and lecithin. Pref., the content of (I) in the agents will be ca. 10%. Daily oral dosage will be 30-180 mg/adult.

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L9: Entry 2 of 5

File: DWPI

Jul 24, 2003

DERWENT-ACC-NO: 2001-625779

DERWENT-WEEK: 200352

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TITLE: Vaccine delivery system comprising a vaccine and a suppository base useful for treating or preventing urogenital and anorectal infectious disease caused by, e.g., bacteria, viruses, protozoa, and fungi

Basic Abstract Text (1):

NOVELTY - Vaccine delivery system, useful for treating or preventing urogenital and anorectally transmitted diseases, in the form of a suppository for transmucosal inoculation is new.

Basic Abstract Text (2):

DETAILED DESCRIPTION - A suppository vaccine delivery system for preventing or treating urogenitally and anorectally transmitted infectious disease in humans and animals comprises:

Basic Abstract Text (4):

(2) a suppository base selected from polyethylene glycol and/or polysorbate, where the suppository is adapted for insertion to an orifice allow the delivery of the suppository contents.

Basic Abstract Text (10):

ADVANTAGE - The patient does not have to lie in a supine position for an extended period after administration whilst still having enough contact time to enhance the immune response in the mucosa. The suppository prevents microbial shedding, colonization and pathogen-host attachment. The vaccine is easy to self-administer and avoids unwanted side-effects associated with oral presentations.

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L12: Entry 4 of 44

File: USPT

Mar 13, 2001

DOCUMENT-IDENTIFIER: US 6200590 B1

TITLE: Controlled, phased-release suppository and its method of production

## CLAIMS:

6. The drug delivery means of claim 1, wherein the suppository base component comprises a pharmaceutically acceptable form of polyethylene glycol.

7. The drug delivery means of claim 1, wherein the suppository base component is chosen from the group consisting of cocoa butter, glycerinated gelatin, hydrogenated vegetable oils, fatty acid esters of polyethylene glycols, glycolsurfactant PEGs, glycerinated gelatin, and nonionic surfactant materials such as polyoxyethylene derivatives of sorbitan monostearate and polyoxyl--40 stearate.

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L12: Entry 3 of 44

File: USPT

May 8, 2001

DOCUMENT-IDENTIFIER: US 6228864 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Administration of 5-HT receptor agonists and antagonists, to treat premature ejaculation

## CLAIMS:

17. The method of claim 16, wherein the urethral suppository contains a pharmacologically acceptable carrier comprised of polyethylene glycol.

**WEST**

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L12: Entry 2 of 44

File: USPT

Oct 15, 2002

DOCUMENT-IDENTIFIER: US 6464670 B1

TITLE: Method of delivering therapeutic agents to the urethra and an urethral suppository

## CLAIMS:

5. The urethral suppository of claim 1 wherein the biocompatible material is a biocompatible carrier medium selected from one or more of the group consisting of modified celluloses, poly(vinyl alcohol), poly(vinylpyrrolidone), polyacrylamide, poly(ethylene glycol), poly (phosphoester urethanes), and ethylenoxide polymers.

14. The urethral suppository of claim 12 wherein the biocompatible material is a biocompatible carrier medium selected from one or more of the group consisting of modified celluloses, poly(vinyl alcohol), poly(vinylpyrrolidone), polyacrylamide, poly(ethylene glycol), poly (phosphoester urethanes), and ethylenoxide polymers.

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L12: Entry 20 of 44

File: USPT

May 13, 1997

DOCUMENT-IDENTIFIER: US 5629012 A

TITLE: Process for producing suppositories by compression and suppositories obtained by the process

Abstract Text (1):

Suppositories, produced by a process in which a suppository basic mass is produced containing (a) 50-75% of 5-aminosalicylic acid as an active ingredient, (b) 2-5% talc, magnesium stearate and/or polyvinyl pyrrolidone and (c) 20-48% by weight of a polyethylene glycol having an average molecular weight of at least 4000, and the produced mass is compressed to suppositories in a tabletting machine.

CLAIMS:

1. A suppository produced by a process comprising forming a granulate consisting essentially of (a) 50-75% of 5-aminosalicylic acid as an active ingredient, (b) 2-5% talc, magnesium stearate and polyvinyl pyrrolidone and (c) 20-48% by weight of a polyethylene glycol having an average molecular weight of at least 4000, and compressing the granulate to the suppository in a tabletting machine.

2. The suppository of claim 1, wherein the polyethylene glycol has an average molecular weight of 6000.

3. The suppository of claim 1, wherein the granulate is formed by mixing the polyethylene glycol with the 5-aminosalicylic acid and polyvinyl pyrrolidone and then adding the magnesium stearate and talc.

**WEST**

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L12: Entry 21 of 44

File: USPT

Apr 22, 1997

DOCUMENT-IDENTIFIER: US 5622927 A

TITLE: Pharmaceutical composition and method for treating vulvitis or vulvovaginitis

## CLAIMS:

3. A composition for treating the symptoms of vulvitis or vulvovaginitis in the form of a suppository, which comprises 0.05-0.1% by weight of folic acid, 0.8-1.5% by weight of protein hydrolysate, 8-14% by weight of lactose, 1.0-2.0% by weight of lactic acid, 1.0-2.1% by weight of magnesium sulfate, 2.0-4.0% by weight of sodium chloride or ammonium chloride, 60.0-68.0% by weight of polyoxyethyleneglycol 1540 and 10-15% by weight of polyoxyethyleneglycol monolaurate.



60-68%  
10-15%

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L12: Entry 9 of 44

File: USPT

Apr 4, 2000

DOCUMENT-IDENTIFIER: US 6046179 A

TITLE: Composition for and treatment of inflammatory bowel disease by colon administration of N-acetylglucosamine

## CLAIMS:

13. A composition as claimed in claim 5 wherein the suppository base is selected from the group consisting of theobroma oil, glycerinated gelatin, hydrogenated vegetable oil, polyalkyl glycol, fatty acid ester of polyalkylene glycol, coconut oil base, hydrogenated fatty acid, hydrogenated vegetable oil, monoglyceride, cocoa butter, petroleum oil, beeswax, glycerine, polyethylene glycol 600 dilaurate, hydrogenated cocoa glyceride and polyethylene glycol.

14. A composition as claimed in claim 6 wherein the suppository base is selected from the group consisting of theobroma oil, glycerinated gelatin, hydrogenated vegetable oil, polyalkyl glycol, fatty acid ester of polyalkylene glycol, coconut oil base, hydrogenated fatty acid, hydrogenated vegetable oil, monoglyceride, cocoa butter, petroleum oil, beeswax, glycerine, polyethylene glycol 600 dilaurate, hydrogenated cocoa glyceride and polyethylene glycol.



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L10: Entry 6 of 23

File: PGPB

Mar 21, 2002

DOCUMENT-IDENTIFIER: US 20020034498 A1

TITLE: POLYMER-MODIFIED VIRUSES

Detail Description Paragraph (3):

[0049] In accordance with the present invention, polymers are generally large non-immunogenic, biologically inert molecules comprising a chain of smaller molecules linked by covalent bonds. Polymers useful in accordance with the present invention are those polymers which, when covalently or noncovalently bound to a virus, provide a polymer-modified virus that retains detectable levels of infectivity and is substantially non-immunogenic. The polymers preferably have an average molecular weight of from about 200 to about 20,000 daltons. The polymers are biocompatible, and may be linear or branched. The polymers may be homopolymers or heteropolymers. Suitable polymers for use in the present invention include polyalkalene compounds such as polyalkalene oxides and glycols. Polyalkalene compounds include polyoxymethylene, polyethylene glycols (PEG) and oxides, and methoxypolyethyleneglycols, and derivatives thereof including for example polymethyl-ethyleneglycol, polyhydroxypropyleneglycol, polypropylene glycol, polymethylpropylene glycol, polyhydroxypropylene oxide and polyvinyl pyrrolidone (PVP).

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L10: Entry 3 of 23

File: PGPB

Feb 6, 2003

DOCUMENT-IDENTIFIER: US 20030027207 A1

TITLE: Anti-platelet binding proteins and polymer conjugates containing the same

Summary of Invention Paragraph (128):

[0125] Preferably, the conjugates are prepared according to the methods of L. S. Lee et al., 1999 (Bioconjugate Chem. 10: 973-981), incorporated herein by reference. L. S. Lee et al. have reported protocols for the random, but delimited, PEGylation of sFv primary amine or carboxyl groups. In a further preferred method, conjugates of, e.g., SCA.RTM. and/or sFv proteins are prepared by linking to a polyalkylene oxide, such a PEG, by the site-specific conjugation methods described in co-owned international patent application WO98/48837, the disclosure of which is incorporated by reference herein. These protocols are applied to lead candidates of sFv specificities isolated by the above methods.

Feb 6, 2003

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L13: Entry 10 of 34

File: USPT

Aug 27, 2002

DOCUMENT-IDENTIFIER: US 6440701 B1

TITLE: Transferrin receptor genes of Moraxella

Detailed Description Text (29):

Immunogenic compositions, including vaccines, may be prepared as injectables, as liquid solutions or emulsions. The transferrin receptor proteins, analogs and fragments thereof and encoding nucleic acid molecules may be mixed with pharmaceutically acceptable excipients which are compatible with the transferrin receptor proteins, fragments, analogs or nucleic acid molecules. Such excipients may include water, saline, dextrose, glycerol, ethanol, and combinations thereof. The immunogenic compositions and vaccines may further contain auxiliary substances, such as wetting or emulsifying agents, pH buffering agents, or adjuvants, to enhance the effectiveness of the vaccines. Immunogenic compositions and vaccines may be administered parenterally, by injection subcutaneously, intradermally or intramuscularly. Alternatively, the immunogenic compositions provided according to the present invention, may be formulated and delivered in a manner to evoke an immune response at mucosal surfaces. Thus, the immunogenic composition may be administered to mucosal surfaces by, for example, the nasal or oral (intragastric) routes. The immunogenic composition may be provided in combination with a targeting molecule for delivery to specific cells of the immune system or to mucosal surfaces. Some such targeting molecules include vitamin B12 and fragments of bacterial toxins, as described in WO 92/17167 (Biotech Australia Pty. Ltd.), and monoclonal antibodies, as described in U.S. Pat. No. 5,194,254 (Barber et al). Alternatively, other modes of administration, including suppositories and oral formulations, may be desirable. For suppositories, binders and carriers may include, for example, polyalkylene glycols or triglycerides. Oral formulations may include normally employed excipients such as, for example, pharmaceutical grades of saccharine, cellulose and magnesium carbonate. These compositions may take the form of solutions, suspensions, tablets, pills, capsules, sustained release formulations or powders and contain about 1 to 95% of the transferrin receptor proteins, fragments, analogs and/or nucleic acid molecules.

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L13: Entry 10 of 34

File: USPT

Aug 27, 2002

DOCUMENT-IDENTIFIER: US 6440701 B1

TITLE: Transferrin receptor genes of Moraxella

Detailed Description Text (29):

Immunogenic compositions, including vaccines, may be prepared as injectables, as liquid solutions or emulsions. The transferrin receptor proteins, analogs and fragments thereof and encoding nucleic acid molecules may be mixed with pharmaceutically acceptable excipients which are compatible with the transferrin receptor proteins, fragments, analogs or nucleic acid molecules. Such excipients may include water, saline, dextrose, glycerol, ethanol, and combinations thereof. The immunogenic compositions and vaccines may further contain auxiliary substances, such as wetting or emulsifying agents, pH buffering agents, or adjuvants, to enhance the effectiveness of the vaccines. Immunogenic compositions and vaccines may be administered parenterally, by injection subcutaneously, intradermally or intramuscularly. Alternatively, the immunogenic compositions provided according to the present invention, may be formulated and delivered in a manner to evoke an immune response at mucosal surfaces. Thus, the immunogenic composition may be administered to mucosal surfaces by, for example, the nasal or oral (intragastic) routes. The immunogenic composition may be provided in combination with a targeting molecule for delivery to specific cells of the immune system or to mucosal surfaces. Some such targeting molecules include vitamin B12 and fragments of bacterial toxins, as described in WO 92/17167 (Biotech Australia Pty. Ltd.), and monoclonal antibodies, as described in U.S. Pat. No. 5,194,254 (Barber et al). Alternatively, other modes of administration, including suppositories and oral formulations, may be desirable. For suppositories, binders and carriers may include, for example, polyalkylene glycols or triglycerides. Oral formulations may include normally employed incipients such as, for example, pharmaceutical grades of saccharine, cellulose and magnesium carbonate. These compositions may take the form of solutions, suspensions, tablets, pills, capsules, sustained release formulations or powders and contain about 1 to 95% of the transferrin receptor proteins, fragments, analogs and/or nucleic acid molecules.

L5: Entry 9 of 9

File: USPT

Dec 29, 1998

DOCUMENT-IDENTIFIER: US 5853767 A

TITLE: Compositions for treating fungal, parasitic and/or bacterial infections, especially infections of organs such as the skin and vagina

## CLAIMS:

1. A composition comprising acetic acid in an amount between 0.1-10% by weight of the total composition and boric acid in an amount between 0.1-30% by weight of the total composition; wherein said composition is in a form suitable for vaginal treatment being selected from the group consisting of vaginal suppository, vaginal douche, vaginal shampoo, vaginal cream, vaginal ointment; vaginal gel, vaginal creme rinse, vaginal foam and vaginal solution.
2. The composition of claim 1 wherein the composition is in the form of a vaginal suppository.
5. The composition of claim 4 wherein the surfactant is propylene glycol.
7. The composition of claim 6 wherein the non-ionic surfactant is polysorbate.
10. A composition in the form of a vaginal suppository comprising 600 mg boric acid, 0.1-10% by weight glacial acetic acid, wherein said percentages are by weight of the total composition.
12. The composition of claim 11 wherein said inert base is selected from the group consisting of cocoa butter, glycerinated gelatin, hydrogenated vegetable oil, polyethylene glycols and fatty acid esters of polyethylene glycols.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Image									

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L5: Entry 2 of 9

File: USPT

Updated  
9/03  
Jul 16, 2002  
VBA

DOCUMENT-IDENTIFIER: US 6420425 B1

TITLE: Method for the broad based treatment of infections especially infections of organs such as the skin and vagina

## CLAIMS:

2. A method according to claim 1 wherein the composition is administered in a form selected from the group consisting of a vaginal suppository, cream, ointment, gel, douche, solution, shampoo, creme rinse and foam.
4. A method according to claim 3 wherein the surfactant is propylene glycol.
6. A method according to claim 5 wherein the non-ionic surfactant is polysorbate.

**WEST**

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L5: Entry 2 of 9

File: USPT

Jul 16, 2002

US-PAT-NO: 6420425

DOCUMENT-IDENTIFIER: US 6420425 B1

TITLE: Method for the broad based treatment of infections especially infections of organs such as the skin and vagina

DATE-ISSUED: July 16, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Melman; Steven A.	Potomac	MD	20854	

APPL-NO: 09/ 346293 [PALM]

DATE FILED: July 2, 1999

## PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATIONS This application is a continuation application of PCT/US98/04761, filed Jan. 2, 1998, which is a continuation U.S. application Ser. No. 08/778,269, filed Jan. 2, 1997, now U.S. Pat. No. 5,853,767

INT-CL: [07] A61 K 31/19, A61 K 33/22

US-CL-ISSUED: 514/557; 424/659, 514/967

US-CL-CURRENT: 514/557; 424/659, 514/967

FIELD-OF-SEARCH: 424/659, 514/967, 514/557

PRIOR-ART-DISCLOSED:

U.S. PATENT DOCUMENTS

Search Selected

Search ALL

	PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
<input type="checkbox"/>	<u>5158774</u>	October 1992	Inman	424/430
<input type="checkbox"/>	<u>5266329</u>	November 1993	Riley, Jr.	424/430
<input type="checkbox"/>	<u>5292532</u>	March 1994	Bombart	424/405
<input type="checkbox"/>	<u>5451335</u>	September 1995	Hieatt et al.	252/82
<input type="checkbox"/>	<u>5480658</u>	January 1996	Melman	424/659
<input type="checkbox"/>	<u>5489435</u>	February 1996	Ratcliff	424/422
<input type="checkbox"/>	<u>5573765</u>	November 1996	Reinhard et al.	424/93.45

## OTHER PUBLICATIONS

Asikoglu et al, The Release of Isoconazole Nitrate From Different Suppository Bases: In-vitro Dissolution, Physiochemical and Microbiological Studies, 1995.

Hart, "Boric Acid Vaginal Suppositories", The Annals of Pharmacotherapy, vol. 27, Nov. 1993, pp. 1355-1357.

Jain, S.K. et al, "Fungitoxic effect of some organic volatile substances against fungi causing otomycosis", Mycoses, vol. 37, 1994, pp. 299-301.

Redondo-Lopez, V. et al, "Torulopsis glabrata Vaginitis: Clinical Aspects and Susceptibility to Antifungal Agents", Am. J. Obstet. Gynecol., vol. 76, No. 4, Oct. 1990, pp. 651-655.

Swate, T.F. et al, "Boric Acid Treatment of Vulvovaginal Candidiasis", Am. J. Obstet. Gynecol., vol. 43, No. 6, Jun. 1974, pp. 893-895.

van Slyke, K.K. et al, "Treatment of vulvovaginal candidiasis with boric acid powder", Am. J. Obstet. Gynecol., vol. 141, No. 2, Sep. 15, 1981, pp. 145-148.

Erkan, M. et al, "Treatment of otomycosis with acetic and boric acid", Revista Iberoamericana de Micologia, vol. 10, 1993, pp. 33-35.

Rein, M.F., Nystatin vs. Boric Acid Powder in Vulvovaginal Candidiasis, Correspondence, vol. 144, No. 8, pp. 992-993.

Chapter 9 of Systemic Fungal Diseases, pp. 148-150, Merck Manual of Diagnosis and Therapy (15.sup.th Ed.), Merck & Co. Inc. 1989.

Sale of Veterinarian Ear Cleaner at Trade Show on Sep. 20, 1992. Formulation of cleanser sold is same as on batch record dated Nov. 18, 1992.

Biological Abstracts 96:100793 (1993).

Chapter 177, Common Gynecological Problems, Vaginal Discharge And Inflammation, pp. 1674-1676, Merck Manual of Diagnosis And Therapy (15.sup.th Ed.), Merck & Co. Inc., 1989.

Nyirjesy, P. et al, "Chronic fungal vaginitis: The value of Cultures", Am. J. Obstet. Gynecol., vol. 173, No. 3, 1995, pp. 820-823.

Package Insert: Floraquin Vaginal Tablets.RTM., G.D. Searle Ltd., South Africa, Feb. 13, 1975.

Label from Oticlean.RTM.--A Ear Cleaning Lotion For Dogs and Cats manufactured by ARC Laboratories, 1980.

Label from R-7 Ear Cleaner, manufactured by Gimborn U.S.A. 1989.

Bausch & Lomb brand Acetic Acid 2% Aluminum Aceate (Borofair) manufactured by Pharmafair, Dec. 26, 1991.

ART-UNIT: 1617

PRIMARY-EXAMINER: Criares; Theodore J.

ASSISTANT-EXAMINER: Kim; Jennifer



ATTY-AGENT-FIRM: Pouliquen; Corinne Katten Muchin Zavis Rosenmam

**ABSTRACT:**

A method for treating and preventing infections, bacterial, fungal, insecticidal, parasitic and actinomycotic in origin, especially infections of organs such as the vagina and skin. The methods involves administering to a patient in need thereof a composition comprising a combination of boric acid and acetic acid, in effective amounts. Such a composition is especially useful as a broad based treatment and prevention of vaginal and skin infections of unknown origin and can be used without the need for medical diagnosis or while such a diagnosis is being determined. Such a composition is effective, safe, economical and environmentally friendly, and provides an alternative to existing forms of treatment which are toxic which may cause undesirable side effects.

14 Claims, 0 Drawing figures

# WEST Search History

DATE: Monday, September 08, 2003

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L2	5853767.pn.	1	L2
L3	6420425.pn.	1	L3
<i>DB=JPAB; PLUR=YES; OP=AND</i>			
L4	asthma.ti. and preventive.ti. and abe.in.	1	L4
L5	6383471.pn.	0	L5
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L6	6383471.pn.	1	L6
<i>DB=USPT,PGPB,JPAB,EPAB,DWPI,TDBD; PLUR=YES; OP=AND</i>			
L7	supposit\$ same vaccin\$ same (virus or bacteria\$ or viral or hiv or pathogen\$ or microorganism\$ or micro-organism)	108	L7
L8	supposit\$ same (peg or peg\$10 or \$glycol or polyethyleneglycol or glycol or pe-glycol or peglycol or poly-ethylene-glycol)	13220	L8
L9	L8 and I7	41	L9
L10	polyalkalene near25 (poly-ethylene or polyethylene or peg)	23	L10
L11	polyalkalene or (poly-ethylene or polyethylene or peg)	607587	L11
L12	(polyalkalene or (poly-ethylene or polyethylene or peg)).clm. and I7.clm.	2	L12
L13	L7 same I11	34	L13

[Generate Collection](#)[Print](#)**Search Results - Record(s) 1 through 34 of 34 returned.**

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- ☐ 1. 20030138455. 01 Mar 00. 24 Jul 03. Urogenital or anorectal transmucosal vaccine delivery system. Hertelendy, Pharm.D., Ph.D, Zsolt Istvan, et al. 424/204.1; A61K039/12.
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- ☐ 2. 20030133943. 11 Dec 02. 17 Jul 03. Protective recombinant Haemophilus influenzae high molecular weight proteins. Loosmore, Sheena M., et al. 424/190.1; 435/252.3 435/320.1 435/69.3 530/350 536/23.7 A61K039/02 C07K014/195 C07H021/04 C12N001/21 C12N015/74.
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- ☐ 3. 20030129200. 06 May 02. 10 Jul 03. Method for the development of an HIV vaccine. Rios, Adan. 424/208.1; 435/235.1 A61K039/21 C12N007/00.
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- ☐ 4. 20030104011. 30 Dec 02. 05 Jun 03. Method for the development of an HIV vaccine. Rios, Adan. 424/208.1; 424/186.1 435/5 435/6 C12Q001/70 C12Q001/68 A61K039/12 A61K039/21.
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- ☐ 5. 20030091987. 22 Feb 02. 15 May 03. Materials and methods for detecting, preventing, and treating retroviral infection. Yamamoto, Janet K., et al. 435/5; 424/187.1 435/6 435/7.1 514/44 536/23.72 A61K048/00 A61K039/21 C12Q001/70 C12Q001/68 G01N033/53 C07H021/04 A61K031/70 A01N043/04.
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- ☐ 6. 20030088086. 14 Jan 02. 08 May 03. Transferrin receptor genes. Loosmore, Sheena M., et al. 536/23.5; 424/190.1 435/252.3 435/320.1 435/6 530/350 A61K039/02 C12Q001/68 C07H021/04 C12N001/21 C12P021/02.
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- ☐ 7. 6585980. 19 May 00; 01 Jul 03. Flagellin gene, FlaC of Campylobacter. Chan; Voon Loong, et al. 424/234.1; 424/184.1 424/185.1 424/200.1 424/241.1 424/282.1 424/826 424/93.1 424/93.4 435/6 435/69.1 514/44 530/350. A61K039/02.
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- ☐ 8. 6572863. 19 Jul 00; 03 Jun 03. Constitutive expression of non-infectious HIV-like particles. Rovinski; Benjamin, et al. 424/208.1; 424/204.1 424/207.1 424/93.1 424/93.2 424/93.6 435/235.1 435/236 435/320.1 435/325 435/366 435/455 435/456 435/91.4 435/91.41 435/91.42 514/2 514/44 536/23.1 536/23.72 536/24.1. A61K048/00 A61K039/21 C07H021/02 C12N015/867 C12N015/63.
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- ☐ 9. 6503753. 14 Aug 00; 07 Jan 03. Method for the development of an HIV vaccine. Rios; Adan. 435/339; 424/148.1 424/188.1 435/5. C12N005/06 C12Q001/70 A61K039/21 A61K039/42.
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- ☐ 10. 6440701. 14 Apr 98; 27 Aug 02. Transferrin receptor genes of Moraxella. Myers; Lisa E., et al. 435/69.3; 435/252.1 435/252.3 435/325 435/69.1 435/69.7 435/71.1 435/71.2 536/23.1 536/23.4 536/23.7. C12N015/31 C12N015/63.
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- ☐ 11. 6437096. 03 Jan 97; 20 Aug 02. Transferrin receptor of moraxella. Myers; Lisa E., et al. 530/350; 530/400. C07K014/195.
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- ☐ 12. 6383806. 12 Feb 99; 07 May 02. Method for the development of an HIV vaccine. Rios; Adan. 435/339.1; 435/325 435/5 435/7.1. C12N005/06 C12N005/00 C12Q001/70 G01N033/53.
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- ☐ 13. 6361779. 17 May 96; 26 Mar 02. Transferrin receptor genes. Loosmore; Sheena M., et al. 424/256.1; 424/190.1 514/21 514/8 530/413. A61K038/21 A61K038/16 A01N063/00 C12P019/34.
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- ☐ 14. 6358727. 05 Aug 96; 19 Mar 02. Haemophilus transferrin receptor genes. Loosmore; Sheena M., et al. 435/252.3; 435/320.1 435/325 536/23.1 536/23.7. C12N001/21 C12N005/10 C12N015/03 C12N015/63.
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- ☐ 15. 6262016. 21 Jul 97; 17 Jul 01. Transferrin receptor genes. Loosmore; Sheena, et al. 514/2; 435/252.3 435/254.11 435/320.1 435/471 435/69.1 435/71.1 435/71.2 514/12 514/8 530/300 530/350. C07K014/705 C12N015/63 A61K038/17.

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☐ 16. 6218147. 08 Dec 99; 17 Apr 01. Haemophilus adhesin protein. Lingwood; Clifford A.. 435/69.3; 424/256.1 435/243 435/252.3 435/69.1 435/71.1 536/23.1 536/23.7. C12N015/09.

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☐ 17. 6211159. 11 Apr 97; 03 Apr 01. Flagellin gene, FlaC of campylobacter. Chan; Voon Loong, et al. 514/44; 424/234.1 435/6 435/69.1 435/7.32. A01N043/04.

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☐ 23. 6054123. 27 Oct 95; 25 Apr 00. Haemophilus influenzae dimethylsulphoxide reductase enzyme. Loosmore; Sheena M., et al. 424/94.4; 435/189 435/252.3 435/254.11 435/320.1 435/325 435/410 536/23.1 536/23.2. A61K038/44 C12N009/02 C12N015/00 C07H021/04.

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- ☐ 24. 6015688. 07 Jun 95; 18 Jan 00. Transferrin receptor genes. Loosmore; Sheena, et al. 435/69.1; 435/252.1 435/320.1 435/71.1 530/350 536/23.1. C12P021/06 C12P021/04 C12N001/12 C12N015/00.
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- ☐ 25. 6008326. 07 Jun 95; 28 Dec 99. Transferrin receptor antibodies. Loosmore; Sheena, et al. 530/387.9; 530/388.22 530/388.4 530/389.5. C07K016/12 C07K016/28.
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- ☐ 26. 5977337. 03 Jun 97; 02 Nov 99. Lactoferrin receptor genes of *Moraxella*. Loosmore; Sheena M., et al. 536/23.7; 424/256.1 435/69.1 435/69.3 435/69.4 530/350 536/23.1 536/24.3 536/24.32. C07H021/04.
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- ☐ 27. 5922841. 07 Jun 95; 13 Jul 99. Recombinantly produced transferrin receptor of *Haemophilus*. Loosmore; Sheena, et al. 530/350; 435/252.3 435/69.1. C07K014/00 C12P021/06 C12N001/20.
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- ☐ 28. 5922562. 08 Nov 94; 13 Jul 99. Nucleic acids encoding transferrin receptors. Loosmore; Sheena, et al. 435/69.1; 435/252.3 435/254.11 435/320.1 435/325 530/412 536/23.1. C12N015/09 C07K014/705.
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- ☐ 29. 5922323. 07 Jun 95; 13 Jul 99. Transferrin receptor genes and immunogenic compositions derived therefrom. Loosmore; Sheena, et al. 424/190.1; 424/184.1 424/185.1 424/200.1 424/234.1 435/69.1 530/350. A61K039/00 A61K039/38 C07K001/00.
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C12N015/74 C12N015/31.

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☐ 34. WO 9911286 A1 BR 9811442 A AU 9892205 A EP 1009428 A1 US 6099853 A CN 1278735 A JP 2001514233 W. Suppository-based vaccine system - for vaginal treatment and prevention of urogenital infections. HERTELENDY, Z I, et al. A61K009/02 A61K039/07 A61K039/09 A61K039/108 A61K039/116 A61K047/34 A61P015/00 A61P031/04.

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Terms	Documents
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L4	l1 and (l2 or L3)	148	L4
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DATE: Monday, September 08, 2003

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result set

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L5 6383471.pn.

0 L5

*DB=USPT; PLUR=YES; OP=AND*

L6 6383471.pn.

1 L6

END OF SEARCH HISTORY

# WEST Search History

DATE: Monday, September 08, 2003

<u>Set Name</u>	<u>Query</u>	<u>Hit Count</u>	<u>Set Name</u>
side by side			result set
<i>DB=USPT; PLUR=YES; OP=AND</i>			
L1	supposit\$.ti,ab,clm.	1377	L1
	(peg or peg\$10 or \$glycol or		
L2	polyethyleneglycol or poly-ethylene-glycol or	50982	L2
	glycol or pe-glycol or peglycol).ti,ab,clm.		
L3	(\$sorbate or polysorbate\$ or polysorbate or	1713	L3
	poly-sorbate).ti,ab,clm.		
L4	l1 and (l2 or l3)	148	L4
L5	l1 and l2 and l3	9	L5
<i>DB=JPAB,EPAB,DWPI; PLUR=YES; OP=AND</i>			
L6	(\$sorbate or polysorbate\$ or polysorbate or	2651	L6
	poly-sorbate).ti,ab,clm.		
	(peg or peg\$10 or \$glycol or		
L7	polyethyleneglycol or poly-ethylene-glycol or	154493	L7
	glycol or pe-glycol or peglycol).ti,ab,clm.		
L8	supposit\$.ti,ab,clm.	5311	L8
L9	L8 and l7 and l6	5	L9
L10	l1 same l2	0	L10
L11	l1 same l3	0	L11
<i>DB=USPT; PLUR=YES; OP=AND</i>			
L12	l1 same l2	44	L12
L13	l1 same l3	2	L13

END OF SEARCH HISTORY

**WEST**

Generate Collection

Print

L7: Entry 33 of 108

File: USPT

Jun 3, 2003

DOCUMENT-IDENTIFIER: US 6572863 B1

TITLE: Constitutive expression of non-infectious HIV-like particles

Detailed Description Text (19):

Vaccines may be prepared as injectables, as liquid solutions or emulsions. The non-infectious HIV-like particles may be mixed with pharmaceutically-acceptable excipients which are compatible with the retrovirus-like particles. Excipients may include water, saline, dextrose, glycerol, ethanol, and combinations thereof. The vaccine may further contain auxiliary substances, such as wetting or emulsifying agents, pH buffering agents, or adjuvants to enhance the effectiveness of the vaccines. Methods of achieving an adjuvant effect for the vaccine include the use of agents, such as aluminum hydroxide or phosphate (alum), commonly used as 0.05 to 0.1 percent solution in phosphate buffered saline and other adjuvants, including QS21 and incomplete Freund's adjuvant. Vaccines may be administered parenterally, by injection subcutaneously or intramuscularly. Alternatively, the immunogenic compositions formed according to the present invention, may be formulated and delivered in a manner to evoke an immune response at mucosal surfaces. Thus, the immunogenic composition may be administered to mucosal surfaces by, for example, the nasal or oral (intragastric) routes. Alternatively, other modes of administration including suppositories and oral formulations may be desirable. For suppositories, binders and carriers may include, for example, polyalkylene glycols or triglycerides. Oral formulations may include normally employed excipients, such as pharmaceutical grades of saccharine, cellulose and magnesium carbonate. These compositions take the form of solutions, suspensions, tablets, pills, capsules, sustained-release formulations or powders and contain 10 to 95% of the retrovirus-like particles of the invention.